

10/521,896

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	4	AUG 13	CA/CAPplus enhanced with additional kind codes for granted patents
NEWS	5	AUG 20	CA/CAPplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	7	AUG 27	USPATOLD now available on STN
NEWS	8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	10	SEP 13	FORIS renamed to SOFIS
NEWS	11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP 17	CA/CAPplus enhanced with printed CA page images from 1967-1998
NEWS	13	SEP 17	CAPplus coverage extended to include traditional medicine patents
NEWS	14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT 02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	16	OCT 19	BEILSTEIN updated with new compounds
NEWS	17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	18	NOV 19	WPIX enhanced with XML display format
NEWS	19	NOV 30	ICSD reloaded with enhancements
NEWS	20	DEC 04	LINPADOCDB now available on STN
NEWS	21	DEC 14	BEILSTEIN pricing structure to change
NEWS	22	DEC 17	USPATOLD added to additional database clusters
NEWS	23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	24	DEC 17	DGENE now includes more than 10 million sequences
NEWS	25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	26	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	27	DEC 17	CA/CAPplus enhanced with new custom IPC display formats
NEWS	28	DEC 17	STN Viewer enhanced with full-text patent content

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from USPATOLD

NEWS 29 JAN 02 STN pricing information for 2008 now available

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 15:23:59 ON 06 JAN 2008

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COST IN U.S. DOLLARS

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ENTRY

SESSION

FULL ESTIMATED COST

0.21

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STRUCTURE FILE UPDATES: 4 JAN 2008 HIGHEST RN 960040-46-4

DICTIONARY FILE UPDATES: 4 JAN 2008 HIGHEST RN 960040-46-4

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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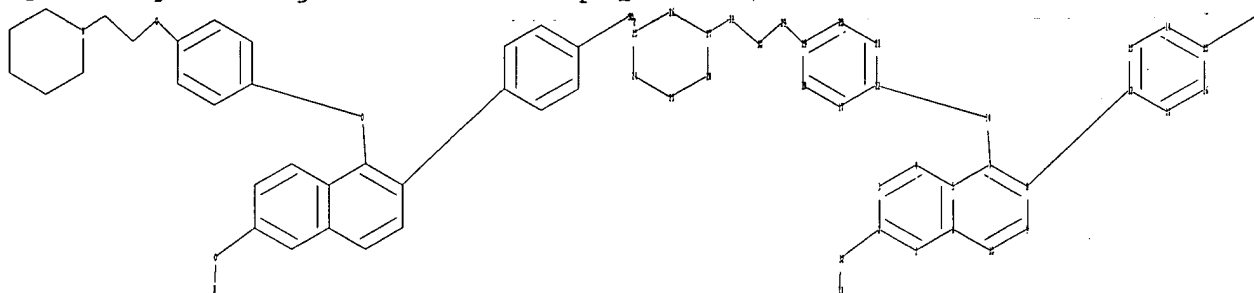
REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

10/521,896

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10521896.str



chain nodes :

29 30 31 32 33 34 35

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21  
22 23 24 25 26 27 28

chain bonds :

2-32 7-34 8-12 15-35 19-29 22-34 27-31 29-30 30-31 32-33

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16  
12-13 13-14 14-15 15-16 17-18 17-22 18-19 19-20 20-21 21-22 23-24  
23-28 24-25 25-26 26-27 27-28

exact/norm bonds :

2-32 7-34 19-29 22-34 23-24 23-28 24-25 25-26 26-27 27-28 27-31  
29-30

exact bonds :

8-12 15-35 30-31 32-33

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16  
12-13 13-14 14-15 15-16 17-18 17-22 18-19 19-20 20-21 21-22

isolated ring systems :

containing 1 : 11 : 17 : 23 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom  
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom  
18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom  
26:Atom 27:Atom 28:Atom 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS  
34:CLASS 35:CLASS

10/521,896

L1 - - - - - STRUCTURE UPLOADED

=> s 11

SAMPLE SEARCH INITIATED 15:24:39 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 11 TO ITERATE

100.0% PROCESSED 11 ITERATIONS 2 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 22 TO 418  
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s 11 ful

FULL SEARCH INITIATED 15:24:47 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 256 TO ITERATE

100.0% PROCESSED 256 ITERATIONS 48 ANSWERS  
SEARCH TIME: 00.00.01

L3 48 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'CAPLUS' ENTERED AT 15:25:01 ON 06 JAN 2008  
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FILE LAST UPDATED: 4 Jan 2008 (20080104/ED)

10/521,896

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-----<http://www.cas.org/infopolicy.html>

=> s 13

L4                    6 L3

=> d 14 ibib abs hitstr hitind 1-6

L4    ANSWER 1 OF 6    CAPLUS    COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:        2007:652149    CAPLUS

DOCUMENT NUMBER:        147:268307

TITLE:                    Structure-activity relationships of SERMs optimized  
                              for uterine antagonism and ovarian safety

AUTHOR(S):                Richardson, Timothy I.; Frank, Scott A.; Wang,  
Minmin;

                              Clarke, Christian A.; Jones, Scott A.; Ying,

Bai-Ping;

                              Kohlman, Dan T.; Wallace, Owen B.; Shepherd,

Timothy

A.; Dally, Robert D.; Palkowitz, Alan D.; Geiser,  
Andrew G.; Bryant, Henry U.; Henck, Judith W.;

Cohen,

Ilene R.; Rudmann, Daniel G.; McCann, Denis J.;  
Coutant, David E.; Oldham, Samuel W.; Hummel,

Conrad

W.; Fong, Kin C.; Hinklin, Ronald; Lewis, George;  
Tian, Hongqi; Dodge, Jeffrey A.

CORPORATE SOURCE:

Lilly Research Laboratories, Eli Lilly and Company,  
Lilly Corporate Center, Indianapolis, IN, 46285,

USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2007),  
17(13), 3544-3549

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB    Structure-activity relationship studies are described, which led to the  
      discovery of novel selective estrogen receptor modulators (SERMs) for  
the

potential treatment of uterine fibroids. The SAR studies focused on  
limiting brain exposure and were guided by computational properties.  
Compds. with limited impact on the HPO axis were selected using serum  
estrogen levels as a biomarker for ovarian stimulation.

IT    648904-56-7P 648904-79-4P 648905-29-7P

770708-13-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

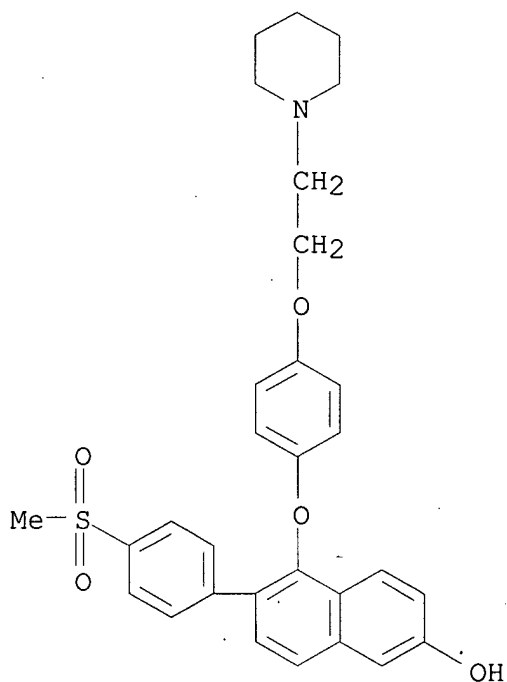
(Structure-activity relationships of SERMs optimized for uterine

10/521,896

antagonism and ovarian safety)

RN 648904-56-7 CAPLUS

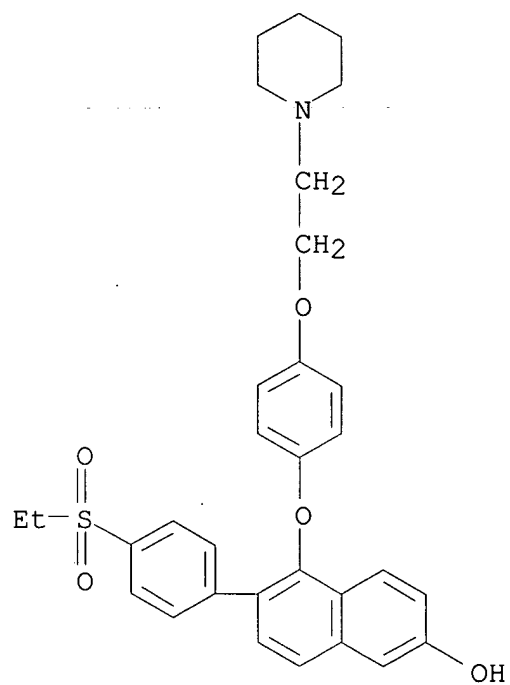
CN 2-Naphthalenol, 6-[4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]- (CA INDEX NAME)



RN 648904-79-4 CAPLUS

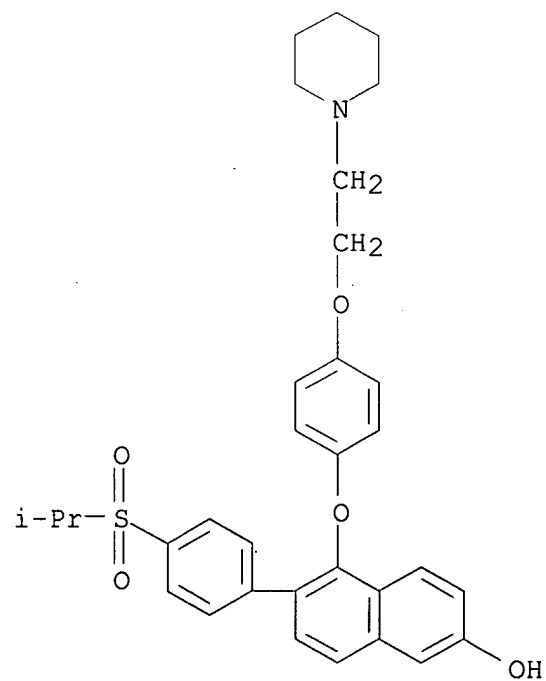
CN 2-Naphthalenol, 6-[4-(ethylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]- (CA INDEX NAME)

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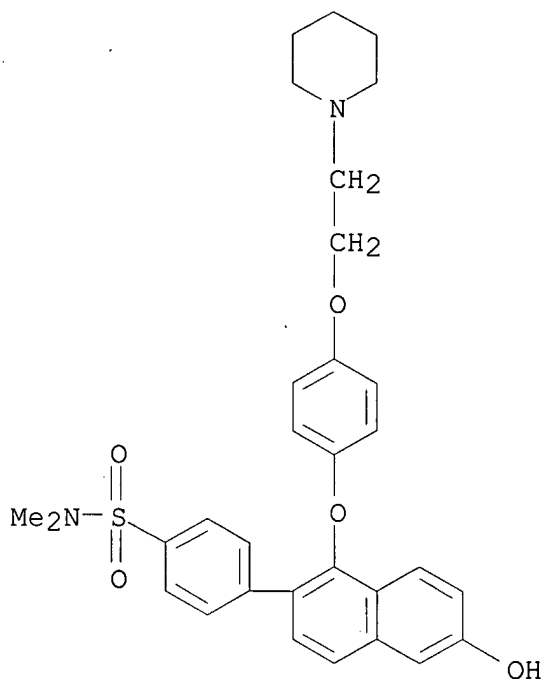
RN 648905-29-7 CAPLUS

CN 2-Naphthalenol, 6-[4-[(1-methylethyl)sulfonyl]phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]- (CA INDEX NAME)



10/521,896

RN 770708-13-9 CAPLUS  
CN Benzenesulfonamide,  
4-[6-hydroxy-1-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-2-  
naphthalenyl]-N,N-dimethyl- (CA INDEX NAME)



CC 1-3 (Pharmacology)

Section cross-reference(s): 27

IT 606130-99-8P 648904-56-7P 648904-79-4P  
648905-29-7P 648906-06-3P 648906-10-9P 688734-86-3P  
752181-73-0P 770708-13-9P 861930-36-1P 861930-46-3P  
862073-15-2P 862081-59-2P 862129-77-9P 862129-80-4P  
862129-85-9P

862129-87-1P 862130-04-9P 862155-76-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(Structure-activity relationships of SERMs optimized for uterine  
antagonism and ovarian safety)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR  
THIS

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1318447 CAPLUS

DOCUMENT NUMBER: 144:184922

TITLE: Androgen dependent mammary gland virilism in rats  
given the selective estrogen receptor modulator



10/521,896

AUTHOR(S): LY2066948 hydrochloride  
Rudmann, Daniel G.; Cohen, Ilene R.; Robbins,  
Michelle

CORPORATE SOURCE: R.; Coutant, David E.; Henck, Judith W.  
Department of Pathology, Lilly Research  
Laboratories,  
Division of Eli Lilly and Co., Greenfield, IN,  
46140,

SOURCE: USA  
Toxicologic Pathology (2005), 33(6), 711-719  
CODEN: TOPADD; ISSN: 0192-6233

PUBLISHER: Taylor & Francis, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A selective estrogen receptor modulator (SERM) is a nonsteroidal compound

with tissue specific estrogen receptor (ER) agonist or antagonist activities. In animals, SERMs may produce morphol. changes in hormonally-sensitive tissues like the mammary gland. Mammary glands from female rats given the SERM LY2066948 hydrochloride (LY2066948) for 1 mo at  $\geq 175$  mg/kg had intralobular ducts and alveoli lined by multiple layers of vacuolated, hypertrophied epithelial cells, resembling in part the morphol. of the normal male rat mammary gland. We hypothesized that these SERM-mediated changes represented an androgen-dependent virilism of the female rat mammary gland. To test this hypothesis, the androgen receptor antagonist flutamide was co-administered with LY2066948 (175 mg/kg) to female rats for 1 mo. Female rats given SERM alone had hyperandrogenemia and the duct and alveolar changes described here. Flutamide cotreatment did not affect serum androgen levels but completely blocked the SERM-mediated mammary gland change. In the mouse, a species that does not have the sex-specific differences in the mammary gland observed in the rat, SERM treatment resulted in hyperandrogenemia but did not alter mammary gland morphol. These studies demonstrate that LY2066948 produces species-specific, androgen-dependent mammary gland virilism in the female rat.

IT 648904-56-7, LY2066948

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(selective estrogen receptor modulator LY2066948 hydrochloride produced

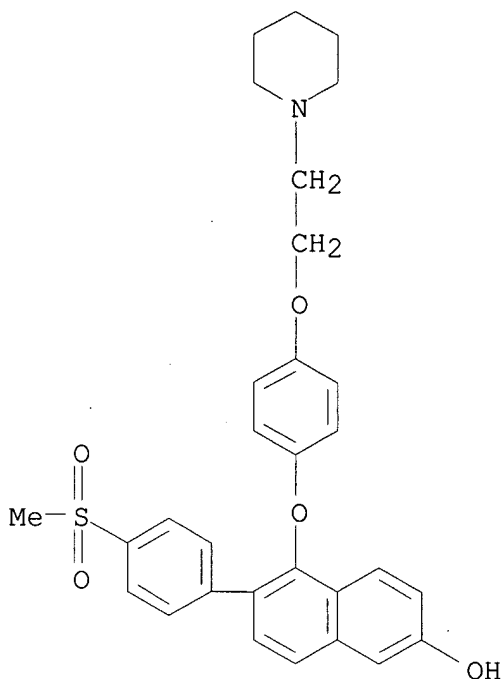
hyperandrogenemia and androgen-dependent virilism of mammary gland in

10/521,896

female Fischer 344 rat)

RN 648904-56-7 CAPLUS

CN 2-Naphthalenol, 6-[4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]- (CA INDEX NAME)



CC 2-4 (Mammalian Hormones)

IT 648904-56-7, LY2066948

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(selective estrogen receptor modulator LY2066948 hydrochloride

produced

hyperandrogenemia and androgen-dependent virilism of mammary gland  
in

female Fischer 344 rat)

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR  
THIS

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1055907 CAPLUS

DOCUMENT NUMBER: 143:415737

TITLE: A new selective estrogen receptor modulator with  
potent uterine antagonist activity, agonist

activity

in bone, and minimal ovarian stimulation

AUTHOR(S): Geiser, Andrew G.; Hummel, Conrad W.; Draper,  
Michael

W.; Henck, Judith W.; Cohen, Ilene R.; Rudmann,

Daniel

G.; Donnelly, Kevin B.; Adrian, Mary D.; Shepherd, Timothy A.; Wallace, Owen B.; McCann, Denis J.; Oldham, Samuel W.; Bryant, Henry U.; Sato,

Masahiko;

Dodge, Jeffrey A.

CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Co., Indianapolis, IN, 46285, USA

SOURCE: Endocrinology (2005), 146(10), 4524-4535

CODEN: ENDOAO; ISSN: 0013-7227

PUBLISHER: Endocrine Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The use of selective estrogen receptor modulators for the treatment of estrogen-dependent diseases in premenopausal women has been hindered by undesirable ovarian stimulation and associated risks of ovarian cysts.

The authors have identified a selective estrogen receptor modulator compound

(LY2066948) that is a strong estrogen antagonist in the uterus yet has minimal effects on the ovaries of rats. LY2066948 binds with high affinity to both estrogen receptors and has potent estrogen antagonist activity in human uterine and breast cancer cells. Oral

administration of

LY2066948 to immature rats blocked uterine weight gain induced by ethynyl

estradiol with an ED50 of 0.07 mg/kg. Studies in mature rats demonstrated

that LY2066948 decreases uterine weight by 51% after 35 d treatment, confirming potent uterine antagonist activity over several estrus cycles.

This strong uterine response contrasted with the minimal effects on the ovaries: serum estradiol levels remained within the normal range, whereas

histol. evaluation showed granulosa cell hyperplasia in few of the rats.

Bone studies demonstrated that LY2066948 prevented ovariectomy-induced bone loss and treatment of ovary-intact rats caused no bone loss, confirming estrogen receptor agonist skeletal effects. Collectively, these data show that LY2066948 exhibits a tissue-specific profile consistent with strong antagonist activity in the uterus, agonist activity

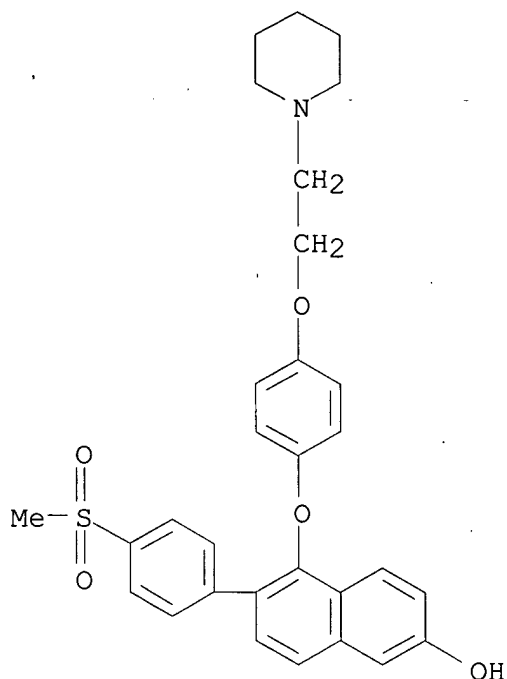
in bone, and minimal effects in the ovaries.

IT 648904-56-7, LY 2066948

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (new selective estrogen receptor modulator with potent uterine antagonist activity, agonist activity in bone, and minimal ovarian stimulation)

RN 648904-56-7 CAPLUS

CN 2-Naphthalenol, 6-[4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]- (CA INDEX NAME)



CC 1-6 (Pharmacology)

Section cross-reference(s): 2

IT 648904-56-7, LY 2066948

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (new selective estrogen receptor modulator with potent uterine antagonist activity, agonist activity in bone, and minimal ovarian stimulation)

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1051070 · CAPLUS

DOCUMENT NUMBER: 143:359450

TITLE: A Selective Estrogen Receptor Modulator Designed  
for

Tissue the Treatment of Uterine Leiomyoma with Unique

### Specificity for Uterus and Ovaries in Rats

AUTHOR(S): Hummel, Conrad W.; Geiser, Andrew G.; Bryant, Henry U.; Cohen, Ilene R.; Dally, Robert D.; Fong, Kin

Chiu;

Frank, Scott A.; Hinklin, Ronald; Jones, Scott A.;  
Lewis, George; McCann, Denis J.; Rudmann, Daniel

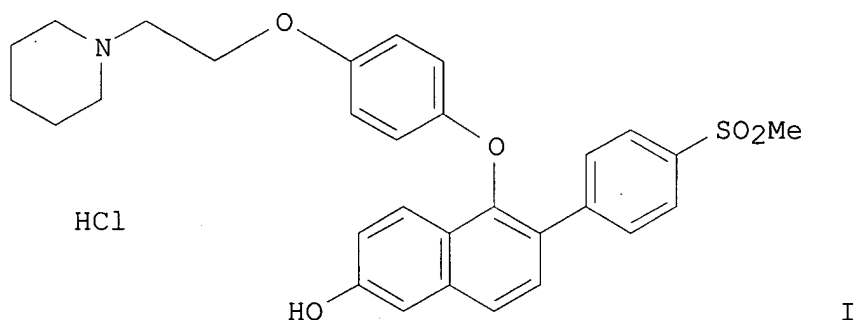
G.;

Shepherd, Timothy A.; Tian, Hongqi; Wallace, Owen

B.;

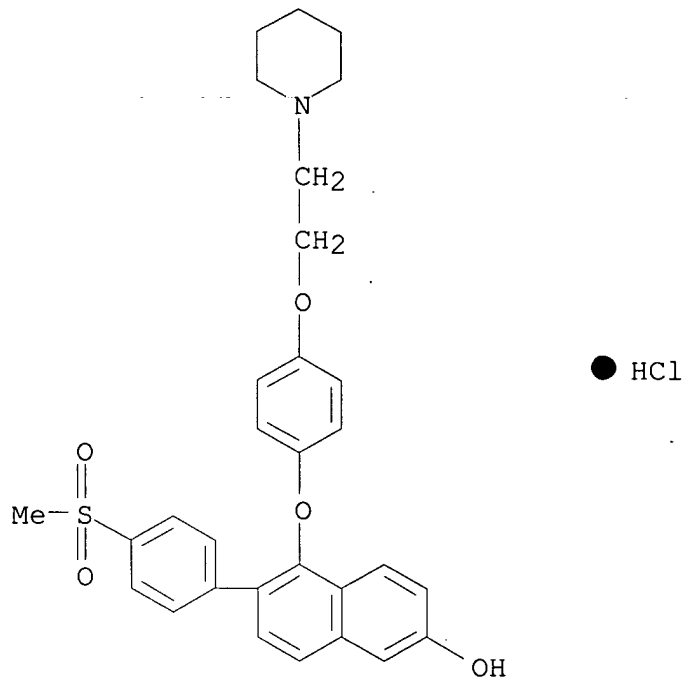
10/521,896

Wang, Minmin; Wang, Yong; Dodge, Jeffrey A.  
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company  
Lilly Corporate Center, Indianapolis, IN, 46285,  
USA  
SOURCE: Journal of Medicinal Chemistry (2005), 48(22),  
6772-6775  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 143:359450  
GI



AB The design of a novel selective estrogen receptor modulator (SERM) for  
the potential treatment of uterine leiomyoma is described. Compound (I,  
LY2066948-HCl) binds with high affinity to estrogen receptors  $\alpha$  and  
 $\beta$  (ER $\alpha$  and ER $\beta$ , resp.) and is a potent uterine antagonist  
with minimal effects on the ovaries as determined by serum biomarkers  
and histol. evaluation.  
IT 648904-58-9P  
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(selective estrogen receptor modulator designed for treatment of  
uterine leiomyoma with unique tissue specificity for uterus and  
ovaries in rats)  
RN 648904-58-9 CAPLUS  
CN 2-Naphthalenol, 6-[4-(methylsulfonyl)phenyl]-5-[4-[2-(1-  
piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

10/521,896



IT 648904-56-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

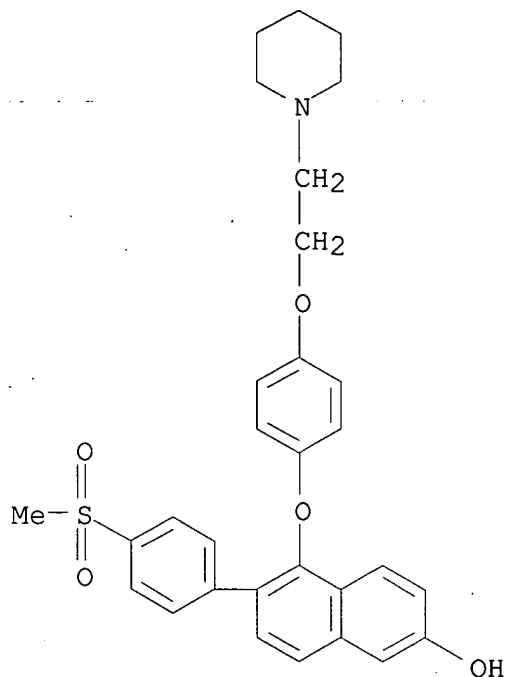
(Reactant or reagent)

(selective estrogen receptor modulator designed for treatment of  
uterine leiomyoma with unique tissue specificity for uterus and  
ovaries  
in rats)

RN 648904-56-7 CAPLUS

CN 2-Naphthalenol, 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(1-  
piperidinyl)ethoxy]phenoxy]- (CA INDEX NAME)

10/521,896



CC 1-3 (Pharmacology)

Section cross-reference(s): 27, 75

IT 648904-58-9P 861930-45-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(selective estrogen receptor modulator designed for treatment of uterine leiomyoma with unique tissue specificity for uterus and

ovaries

in rats)

IT 194594-62-2P 648904-46-5P 648904-47-6P 648904-48-7P

648904-49-8P

648904-52-3P 648904-56-7P 648905-79-7P 648905-80-0P

648905-81-1P 648905-83-3P 648905-84-4P 649724-98-1P

861930-46-3P

866346-49-8P 866346-51-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(selective estrogen receptor modulator designed for treatment of uterine leiomyoma with unique tissue specificity for uterus and

ovaries

in rats)

REFERENCE COUNT:

17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:740163 CAPLUS

DOCUMENT NUMBER: 141:265965

TITLE: Crystalline non-solvated 1-(4-(2-

piperidinylethoxy)phenoxy)-2-(4-methanesulfonylphenyl)-

6-hydroxynaphthalene hydrochloride preparation as  
an

antiestrogen

INVENTOR(S): Remick, David Michael

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

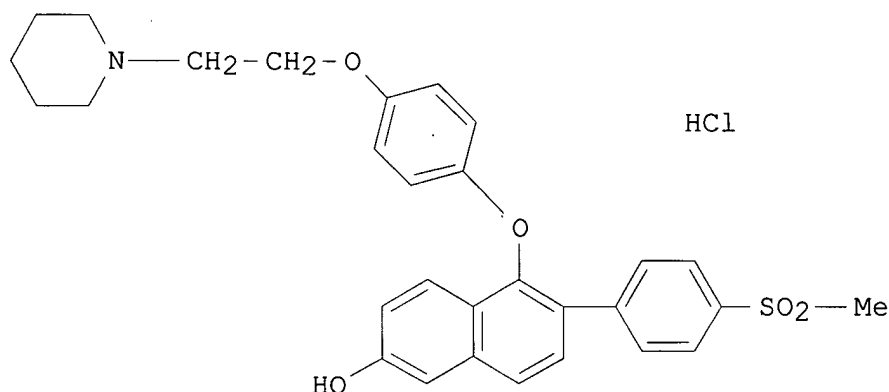
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075894	A1	20040910	WO 2004-US20	20040121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2004009086	A1	20040129	WO 2003-IB303349	20030716
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CA 2512663	A1	20040910	CA 2004-2512663	20040121
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CN 1753676	A	20060329	CN 2004-80005160	20040121
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10/521,896

US 2006167051	A1	20060727	US 2005-542872	20050720
IN 2005KN01530	A	20070413	IN 2005-KN1530	20050803
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			WO 2003-IB3349	A 20030716
			US 2002-397869P	P 20020722
			EP 2003-765254	A3 20030716
			WO 2003-IB303349	A 20030716
			WO 2004-US20	W 20040121

GI



AB The present invention relates to crystalline non-solvated 1-[4-(2-piperidinylethoxy)phenoxy]-2-(4-methanesulfonylphenyl)-6-hydroxynaphthalene-HCl (I), useful as a selective estrogen receptor modulator. I was prepared, formulated in tablets, as pharmacol.

tested for

estrogen antagonist activity.

IT 648904-58-9P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(crystalline non-solvated 1-(4-(2-piperidinylethoxy)phenoxy)-2-(4-methanesulfonylphenyl)-6-hydroxynaphthalene hydrochloride

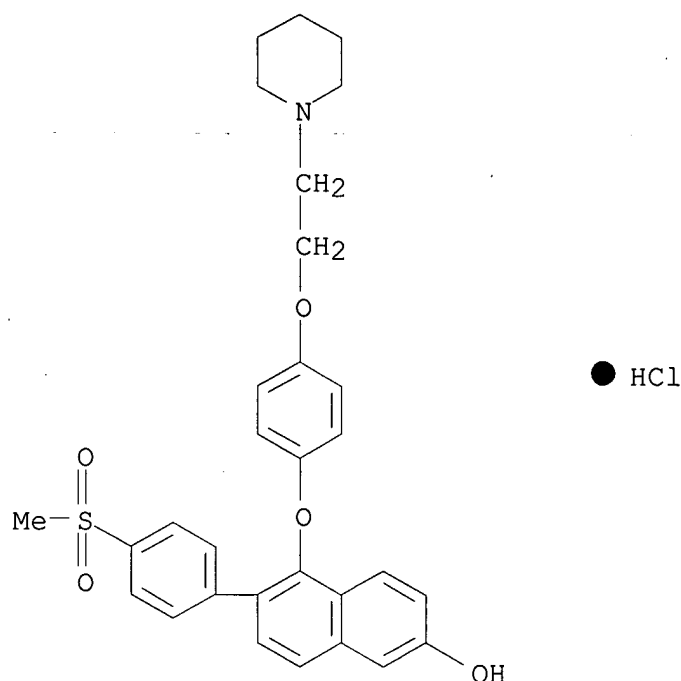
preparation as an

antiestrogen)

RN 648904-58-9 CAPLUS

CN 2-Naphthalenol, 6-[4-(methanesulfonylphenyl)]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

10/521,896



IC ICM A61K031-4453  
ICS A61P005-32; C07D295-08  
CC 63-6 (Pharmaceuticals)  
Section cross-reference(s): 1, 27  
IT 648904-58-9P  
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(crystalline non-solvated 1-(4-(2-piperidinylethoxy)phenoxy)-2-(4-methanesulfonylphenyl)-6-hydroxynaphthalene hydrochloride preparation as an antiestrogen)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:80504 CAPLUS

DOCUMENT NUMBER: 140:128285

TITLE: Preparation of (sulfonylphenylnaphthyl)-substituted piperidines as selective estrogen receptor

modulators

(SERMs) for treating endometriosis and/or uterine leiomyoma

INVENTOR(S): Dally, Robert Dean; Dodge, Jeffrey Alan; Frank, Scott

Alan; Jones, Scott Alan; Shepherd, Timothy Alan; Wallace, Owen Brendan; Fong, Kin Chiu; Hummel,

Conrad

PATENT ASSIGNEE(S): Wilson; Lewis, Geroge Sal  
 Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 118 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

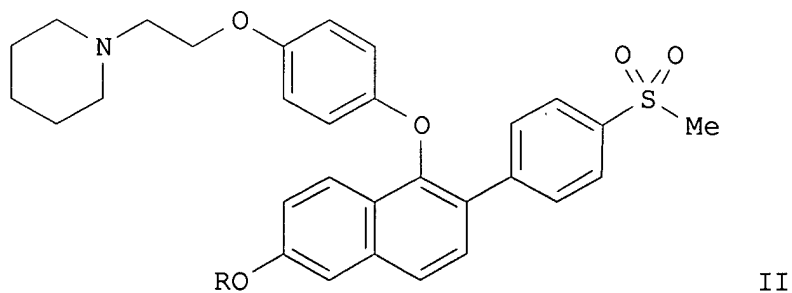
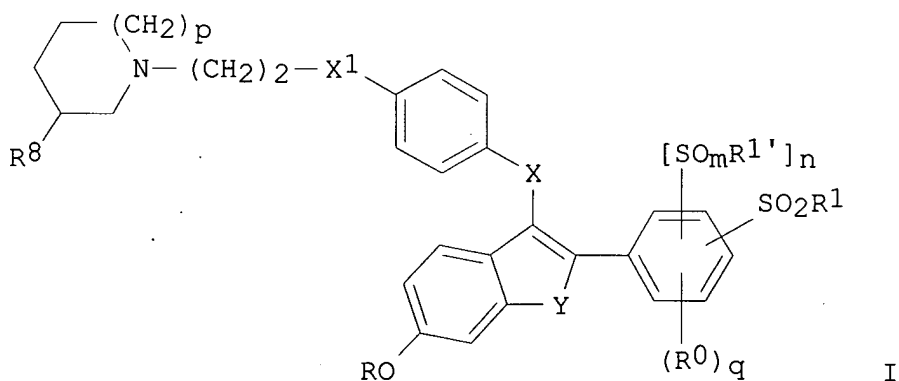
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EP 2003-765254	A3	20030716
WO 2003-IB303349	A	20030716
WO 2003-IB3349	W	20030716
WO 2004-US20	W	20040121

OTHER SOURCE(S):                    MARPAT 140:128285  
GI



AB Title compds. I [wherein m, p, and q = independently 0-2; n = 0-1; R =  
H

or COR2; R0 = independently OH, CF3, halo, alkyl, or alkoxy; R1 and R1' = independently alkyl, alkoxy, NR3R3a, CF3, or CH2CF3; or when n and q = 0, SO2R1 may combine with the Ph ring to form a heterocycle; R2 = alkyl, alkoxy, NR4R4, PhO, or (halo)phenyl; R3 = alkyl or Ph; R3a and R4 = independently H, alkyl, or Ph; X = O, CH2, or CO; X1 = O or NR5; R5 = H or alkyl; R8 = H or Me; with the provisos that if p = 1 or 2, then R8 = H and if p = 0, R8 = Me; Y = S, CH2CH2, or CH=CH; and pharmaceutical acid addition salts thereof] were prepared as selective estrogen receptor modulators (no data). For example, coupling of 4-(methanesulfonyl)phenylboronic acid with trifluoromethanesulfonic acid 6-methoxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester (preparation given) in the presence of CsF, Pd(OAc)2, and tricyclohexylphosphine in MeCN, followed by addition of MeOH, provided II (R = OMe) in 18% yield. Conversion of the piperidine derivative to its HCl salt (96%), demethylation using BBr3 in CH2Cl2 to give the alc. (85%), and recrystn. and treatment with 2M HCl in di-Et ether afforded II•HCl (R = OH) in 95% yield. In the antagonist mode of the Ishikawa cell proliferation assay, the latter blocked 70% of the estradiol-stimulated growth of human endometrial tumor cells. In addition, II•HCl (R = OH) inhibited estrogen-induced response when administered at 1.0 mg/kg in a 3-day rat uterus antagonist assay but did not significantly elevate circulating estradiol or LH levels in a 10-day rat hormone (ovarian stimulation) screen. Thus, I, and their pharmaceutical compns. are useful for treating endometriosis and/or uterine leiomyoma/leiomyomata.

IT 648904-56-7P, 6-[4-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648904-79-4P, 6-[4-(Ethanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648904-88-5P, 6-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648904-91-0P, 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(trifluoromethanesulfonyl)phenyl]naphthalen-2-ol 648905-08-2P 648905-11-7P, 6-[3-Chloro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-15-1P, 6-[4-(Methanesulfonyl)-3-trifluoromethylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-18-4P, 6-[2,3-Dichloro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-22-0P, 6-[3,4-Bis(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-

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 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(propan-2-ylsulfonyl)phenyl]naphthalen-2-ol 648905-30-0P,  
 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(propan-2-ylsulfonyl)phenyl]naphthalen-2-ol hydrochloride 648905-39-9P,  
 6-[4-(Methanesulfonyl)-3-methylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-71-9P,  
 4-[6-Hydroxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]benzenesulfonic acid 2,2-dimethylpropyl ester 648905-90-2P,  
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 6-[4-(Cyclopropylsulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(selective estrogen receptor modulator; preparation of (sulfonylphenyl)naphthyl)-substituted piperidines as SERMs for

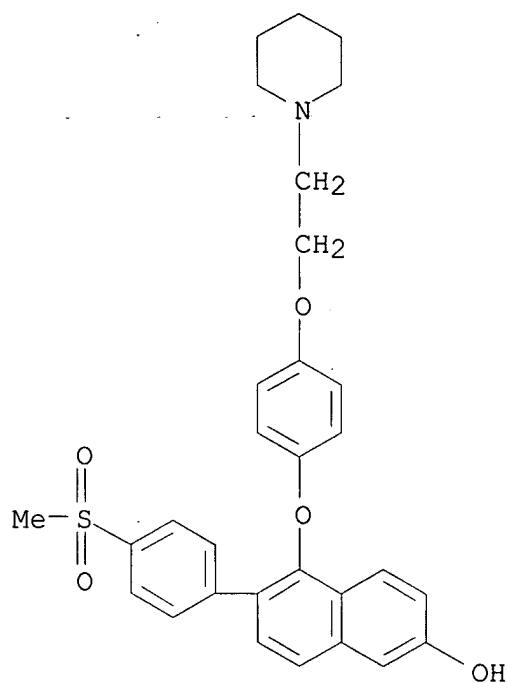
treating

endometriosis and/or uterine leiomyoma)

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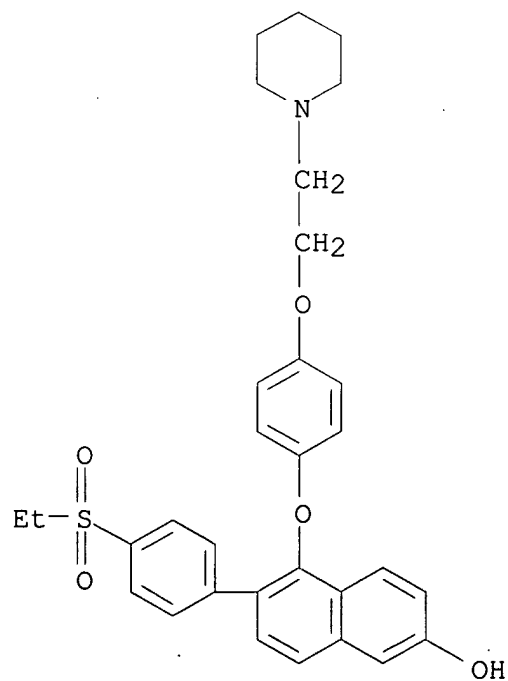
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10/521,896



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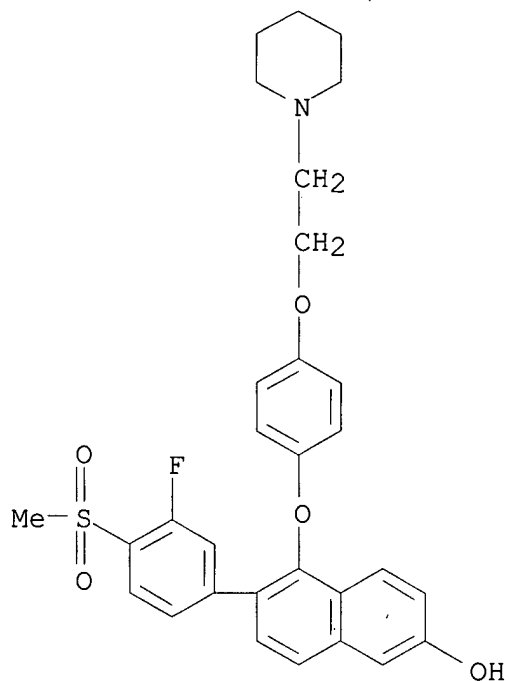
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10/521,896

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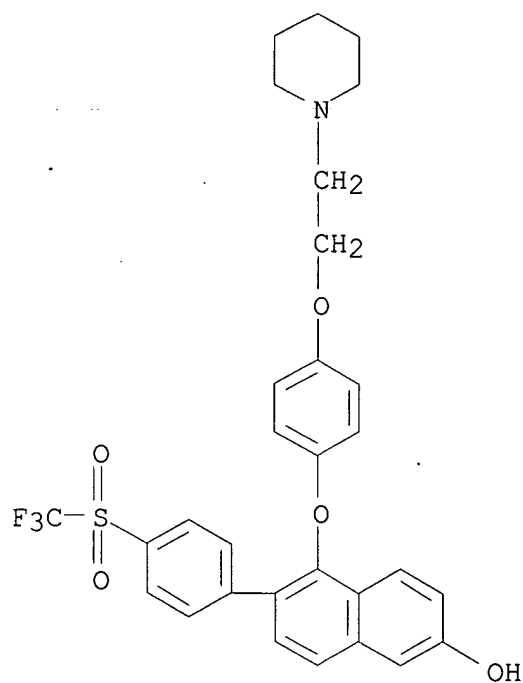


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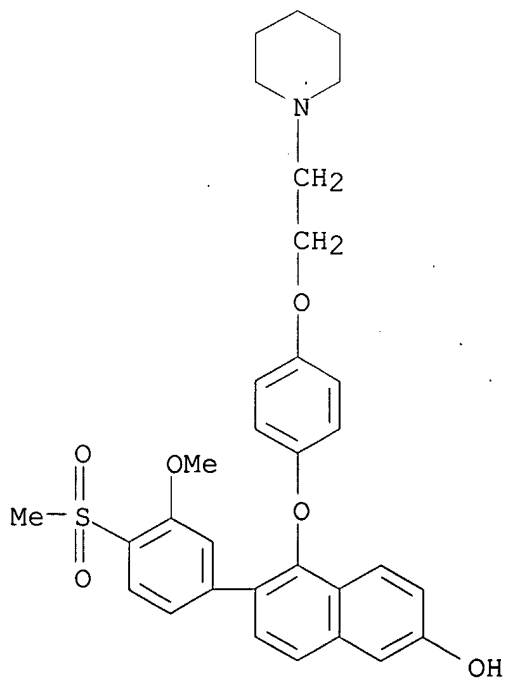


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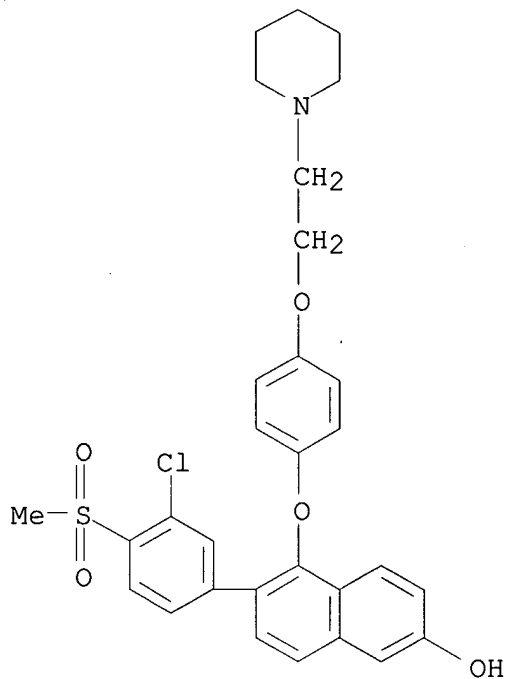
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10/521,896

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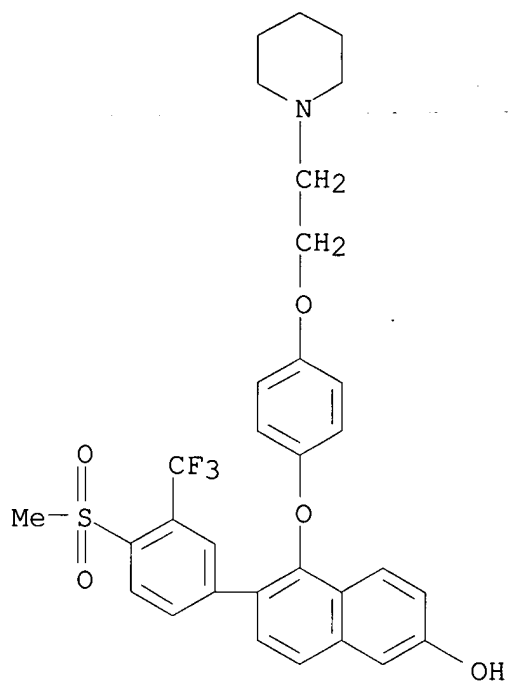
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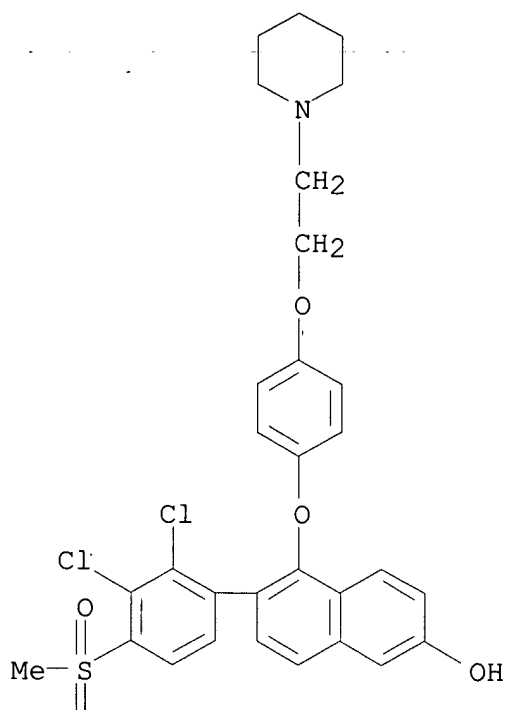
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10/521,896



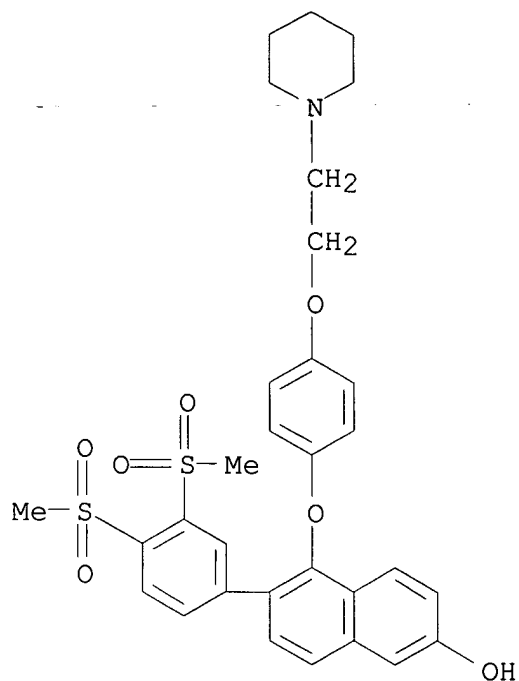
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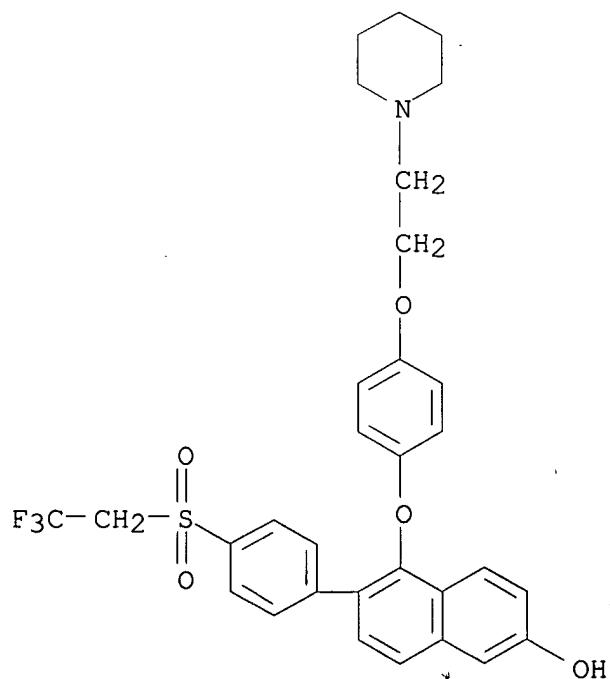
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10/521,896



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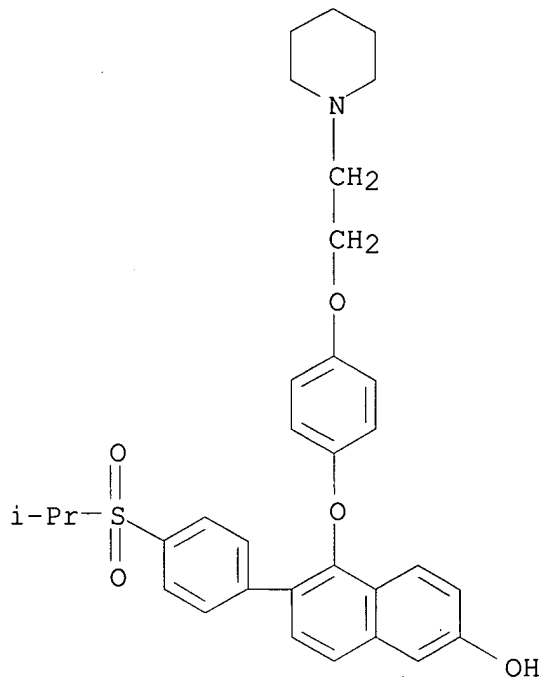
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10/521,896

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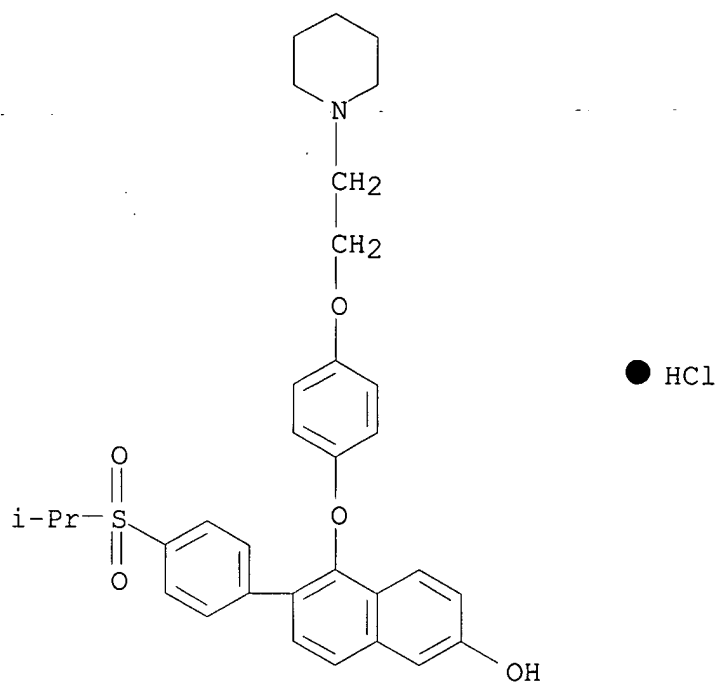
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RN 648905-30-0 CAPLUS

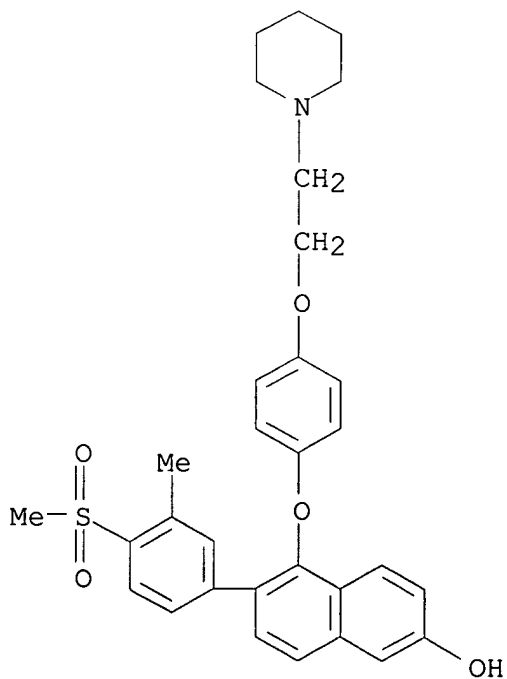
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10/521,896



RN 648905-39-9 CAPLUS

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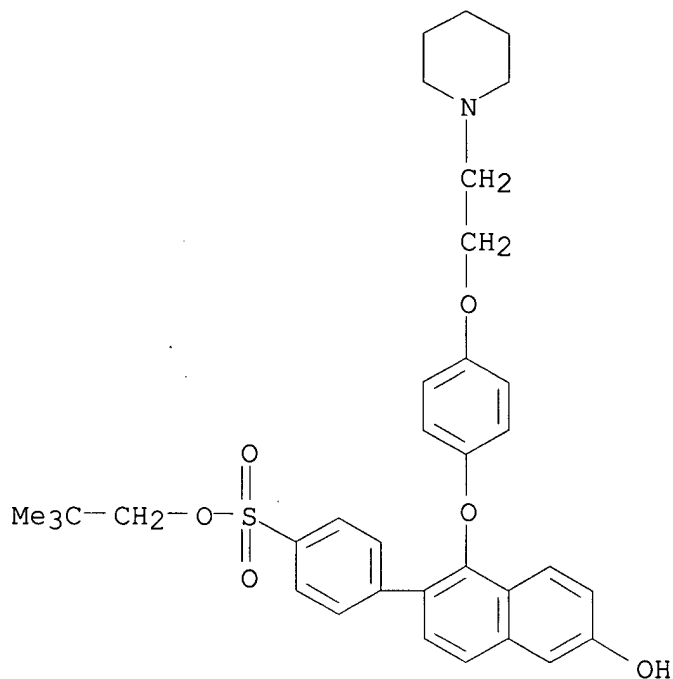


10/521,896

RN 648905-71-9 CAPLUS

CN Benzenesulfonic acid,

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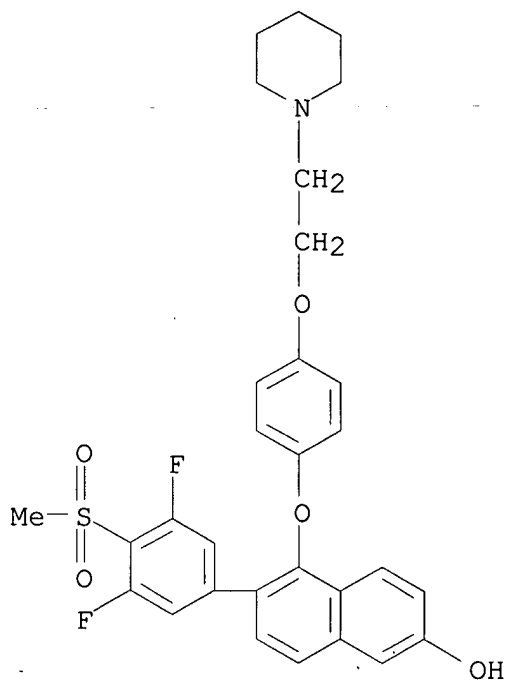


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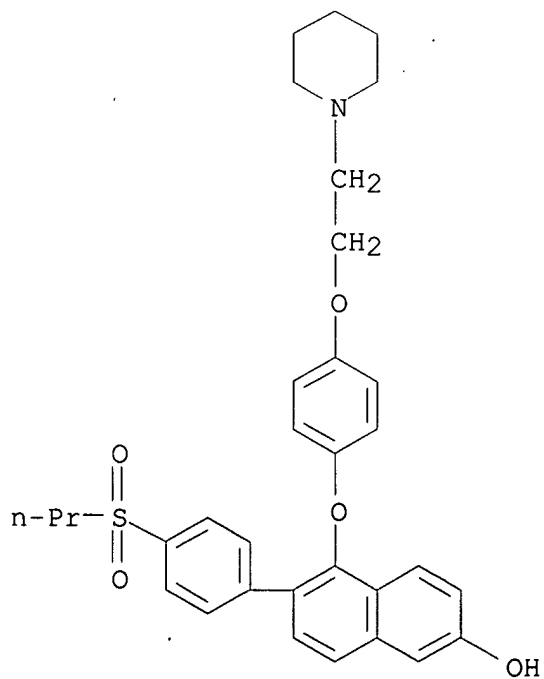


10/521,896



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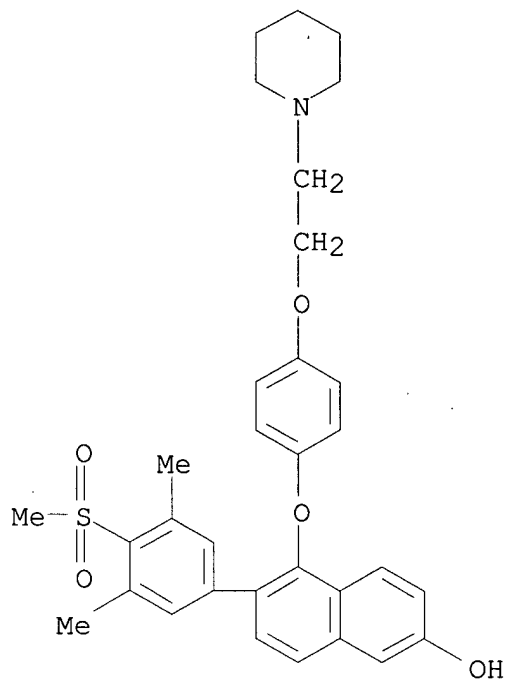
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10/521,896

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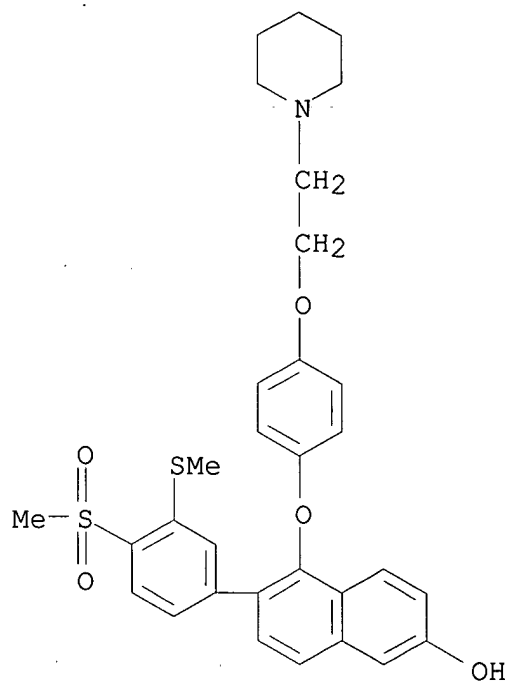
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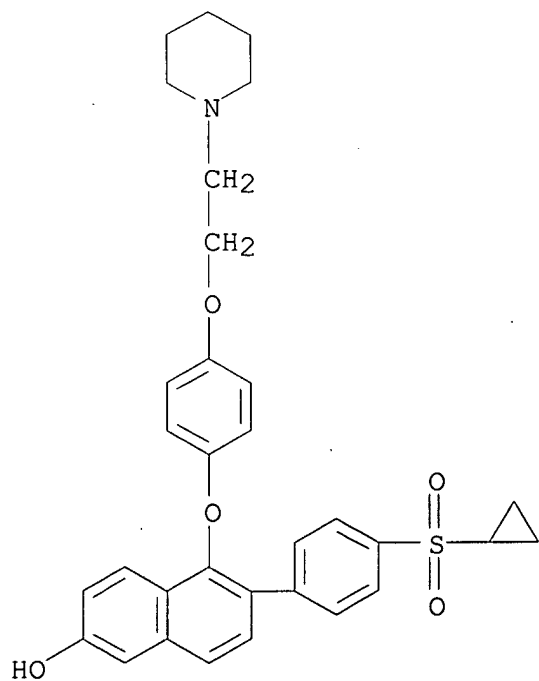
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10/521,896



RN 648906-31-4 CAPLUS

CN 2-Naphthalenol, 6-[4-(cyclopropylsulfonyl)phenyl]-5-[4-[2-(1-piperidiny)ethoxy]phenoxy]- (CA INDEX NAME)



IT 648904-58-9P, 6-[4-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648904-78-3P, 6-[4-(Ethanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648904-87-4P, 6-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648904-92-1P, 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(trifluoromethanesulfonyl)phenyl]naphthalen-2-ol hydrochloride 648905-07-1P, 6-[3-Hydroxy-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol trifluoroacetate 648905-12-8P, 6-[3-Chloro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-14-0P, 6-[4-(Methanesulfonyl)-3-trifluoromethylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-17-3P, 6-[2,3-Dichloro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-21-9P, 6-[3,4-Bis(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-26-4P, 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(2,2,2-trifluoroethanesulfonyl)phenyl]naphthalen-2-ol hydrochloride 648905-35-5P, 6-[4-(Methanesulfonyl)-2-methylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol trifluoroacetate 648905-38-8P, 6-[4-(Methanesulfonyl)-3-methylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-65-1P, N-tert-Butyl-4-[6-hydroxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]benzenesulfonamide hydrochloride 648905-67-3P, 4-[6-Hydroxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]-N,N-dimethylbenzenesulfonamide hydrochloride 648905-70-8P, 4-[6-Hydroxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]benzenesulfonic acid 2,2-dimethylpropyl ester hydrochloride 648905-74-2P, 4-[6-Hydroxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]-N-methylbenzenesulfonamide hydrochloride 648905-77-5P, 6-[4-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol methanesulfonate 648905-78-6P 648905-89-9P, 6-[3,5-Difluoro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-93-5P, 6-[4-(Methanesulfonyl)-3-methoxyphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-97-9P, 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(propan-1-ylsulfonyl)phenyl]naphthalen-2-ol hydrochloride 648906-21-2P, 6-(3,5-Dimethyl-4-(methylsulfonyl)phenyl)-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648906-25-6P, 6-[4-(Methanesulfonyl)-3-(methylsulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648906-30-3P, 6-[4-(Cyclopropylsulfonyl)phenyl]-5-[4-[2-(piperidin-1-

10/521,896

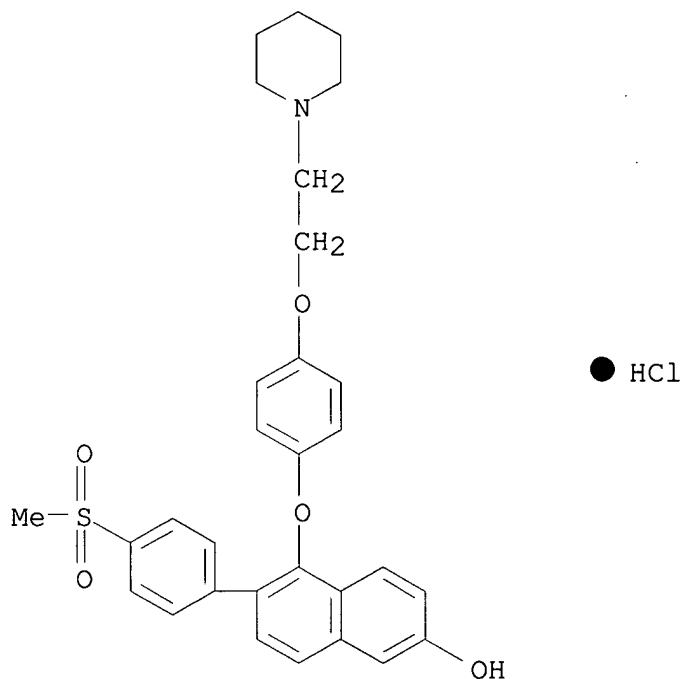
yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(selective estrogen receptor modulator; preparation of (sulfonylphenylnaphthyl)-substituted piperidines as SERMs for treating endometriosis and/or uterine leiomyoma)

RN 648904-58-9 CAPLUS

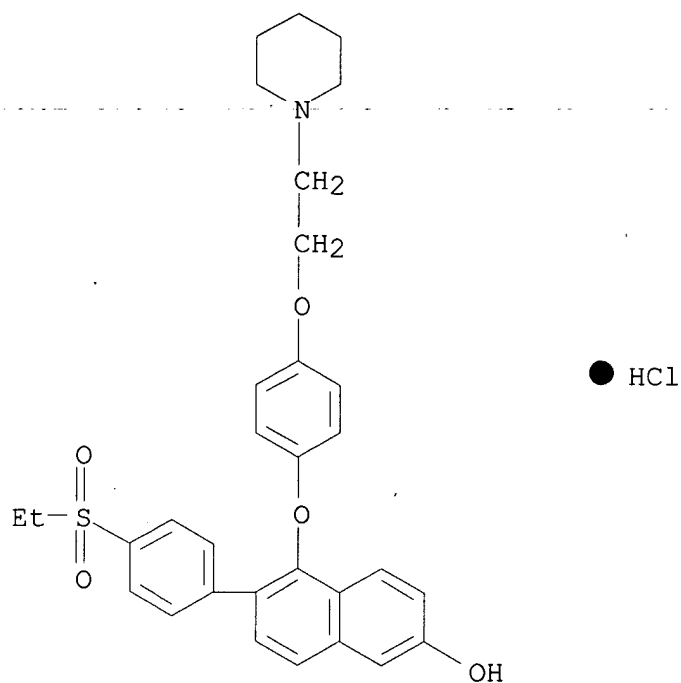
CN 2-Naphthalenol, 6-[4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



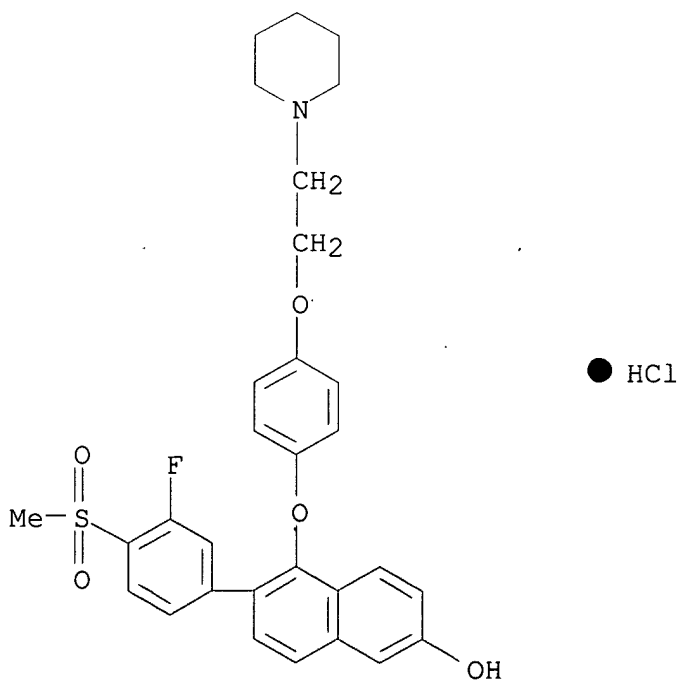
RN 648904-78-3 CAPLUS

CN 2-Naphthalenol, 6-[4-(ethylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

10/521,896



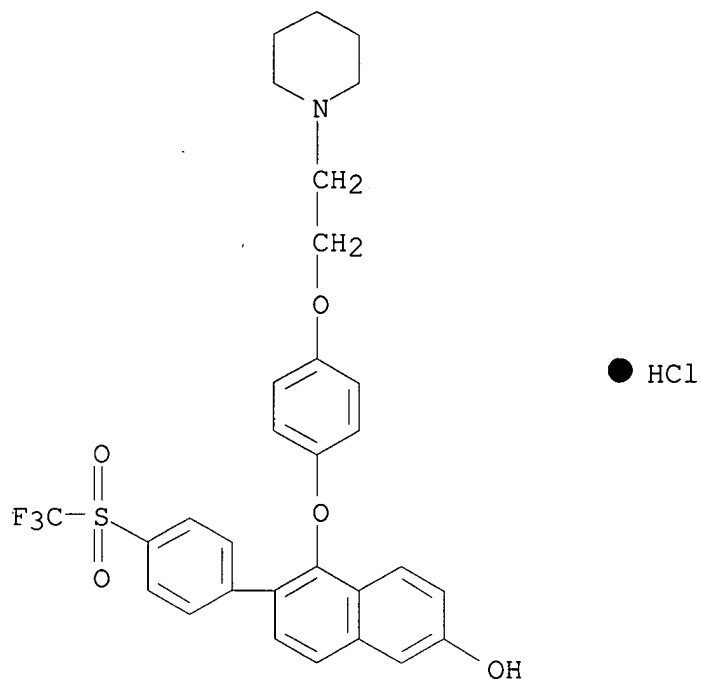
RN 648904-87-4 CAPLUS  
CN 2-Naphthalenol, 6-[3-fluoro-4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



10/521,896

RN 648904-92-1 CAPLUS

CN 2-Naphthalenol, 5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-6-[4-  
[(trifluoromethyl)sulfonyl]phenyl]-, hydrochloride (9CI) (CA INDEX  
NAME)



RN 648905-07-1 CAPLUS

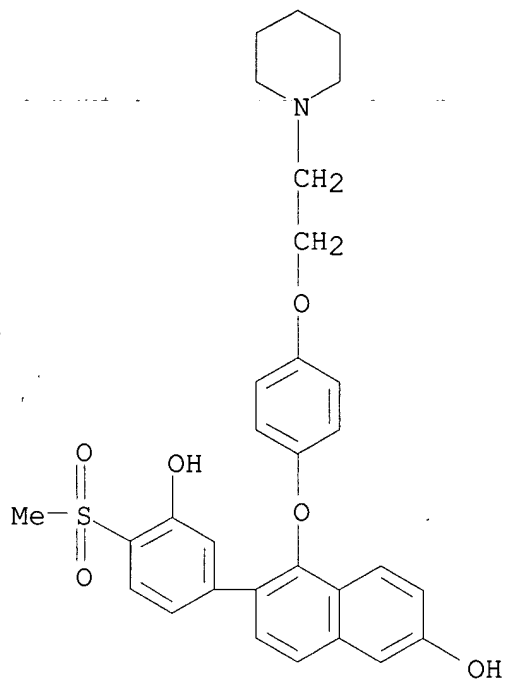
CN 2-Naphthalenol, 6-[3-hydroxy-4-(methylsulfonyl)phenyl]-5-[4-[2-(1-  
piperidinyl)ethoxy]phenoxy]-, trifluoroacetate (salt) (9CI) (CA INDEX  
NAME)

CM 1

CRN 648905-06-0

CMF C30 H31 N O6 S

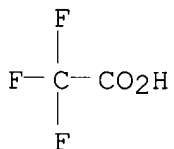
10/521,896



CM 2

CRN 76-05-1

CMF C2 H F3 O2

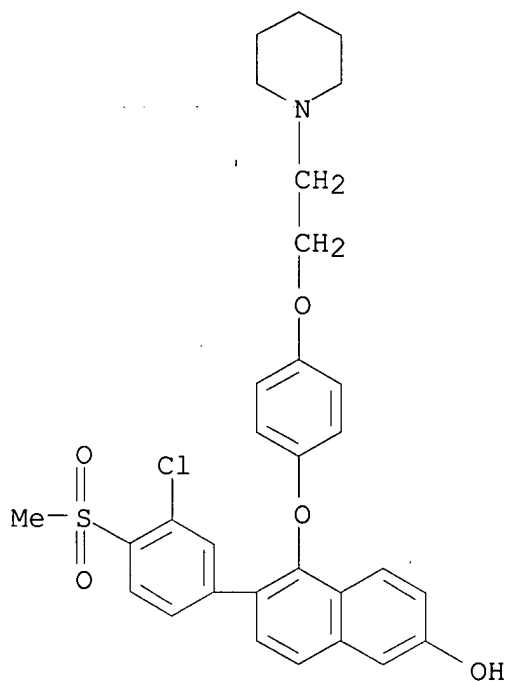


RN 648905-12-8 CAPLUS

CN 2-Naphthalenol, 6-[3-chloro-4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyloxy)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



10/521,896

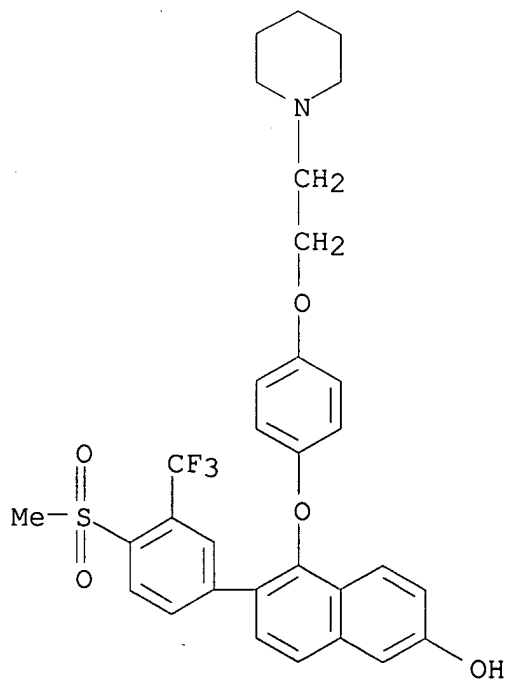


● HCl

RN 648905-14-0 CAPLUS

CN 2-Naphthalenol,

6-[4-(methylsulfonyl)-3-(trifluoromethyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



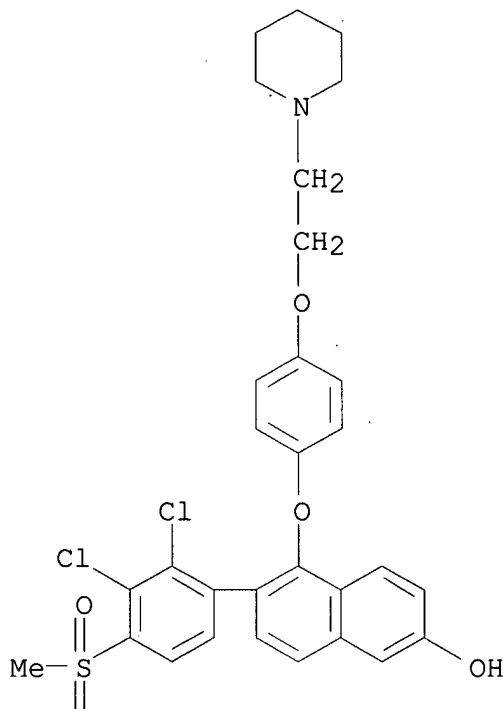
● HCl

10/521,896

RN 648905-17-3 CAPLUS

CN 2-Naphthalenol, 6-[2,3-dichloro-4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

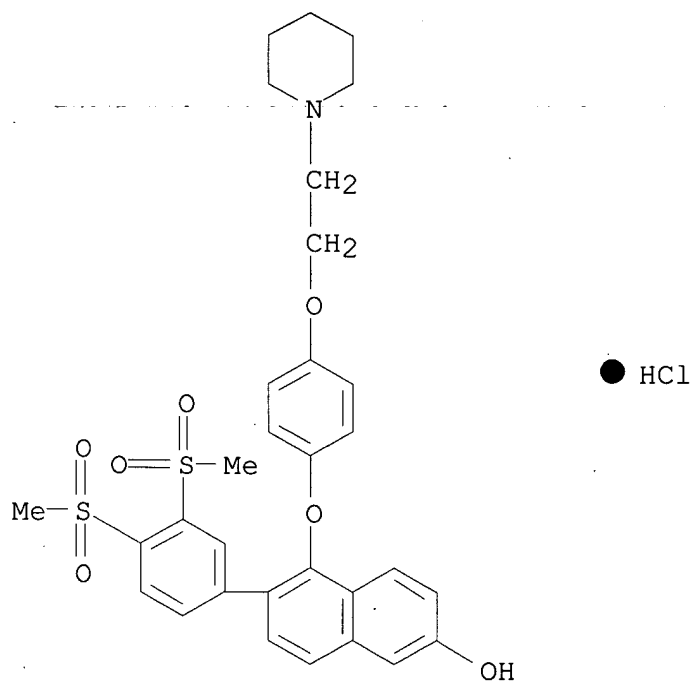


● HCl

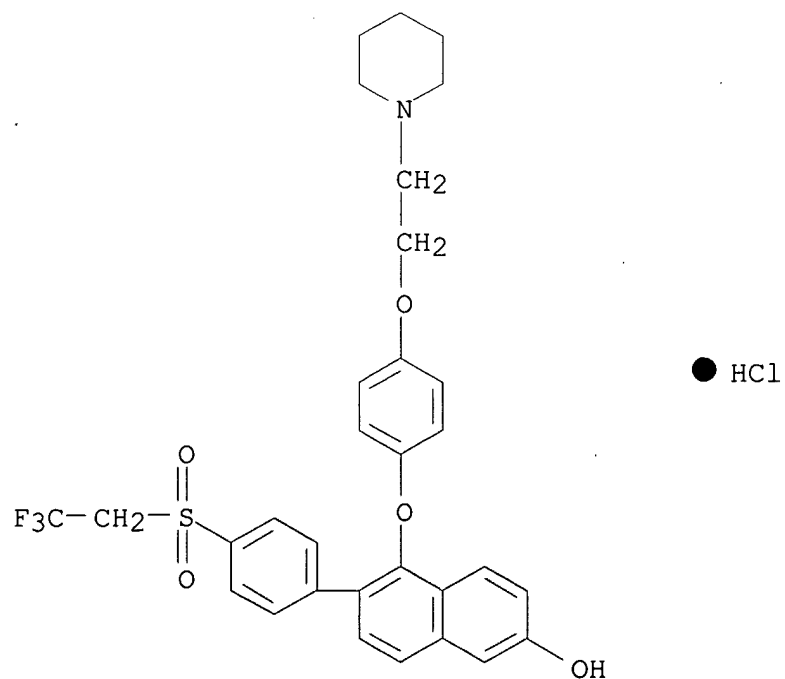
RN 648905-21-9 CAPLUS

CN 2-Naphthalenol, 6-[3,4-bis(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

10/521,896



RN 648905-26-4 CAPLUS  
CN 2-Naphthalenol, 5-[4-[2-(1-piperidiny)ethoxy]phenoxy]-6-[4-[(2,2,2-trifluoroethyl)sulfonyl]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



10/521,896

RN 648905-35-5 CAPLUS

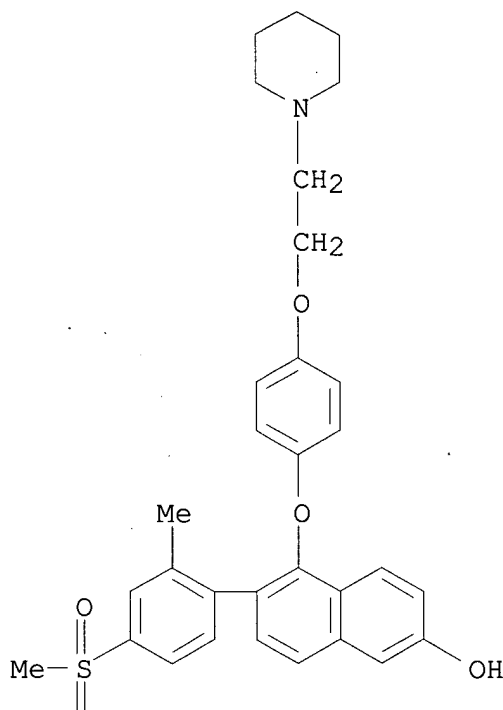
CN 2-Naphthalenol, 6-[2-methyl-4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 648905-34-4

CMF C31 H33 N O5 S

PAGE 1-A



PAGE 2-A

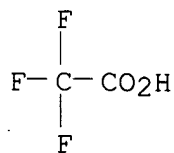


CM 2

CRN 76-05-1

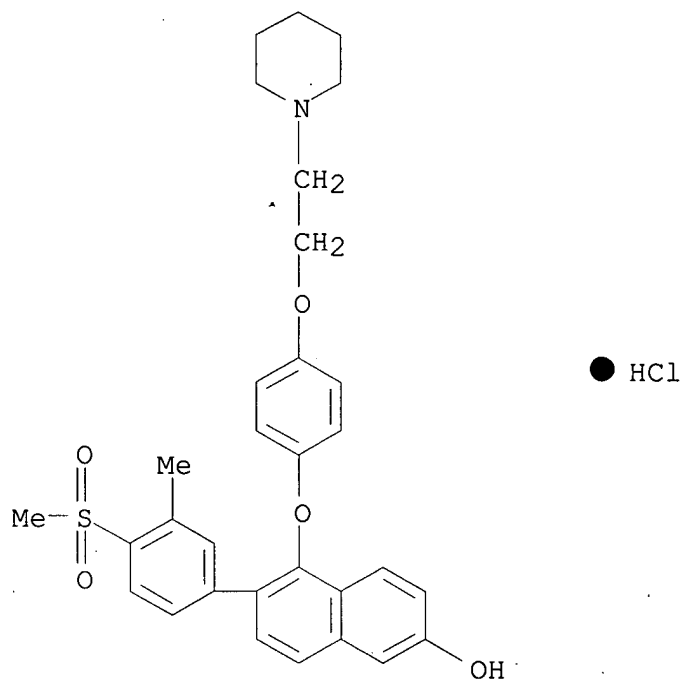
CMF C2 H F3 O2

10/521,896



RN 648905-38-8 CAPLUS

CN 2-Naphthalenol, 6-[3-methyl-4-(methanesulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

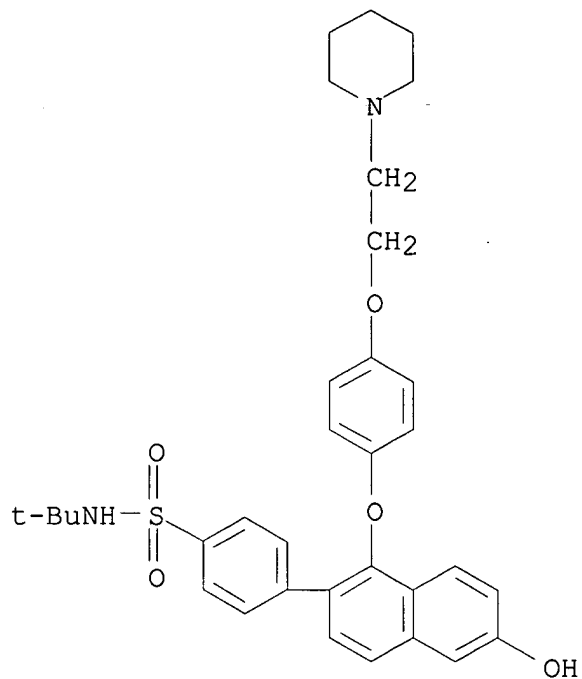


RN 648905-65-1 CAPLUS

CN Benzenesulfonamide, N-(1,1-dimethylethyl)-4-[6-hydroxy-1-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-2-naphthalenyl]-, monohydrochloride (9CI)

(CA  
INDEX NAME)

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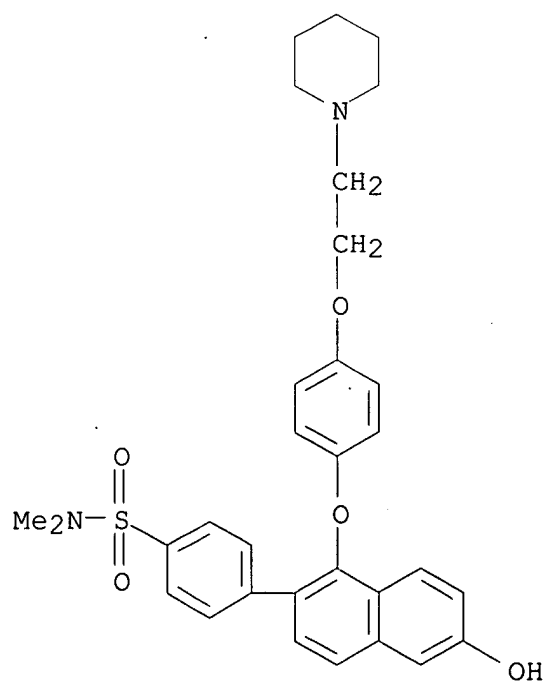


● HCl

RN 648905-67-3 CAPLUS

CN Benzenesulfonamide,

4-[6-hydroxy-1-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-2-naphthalenyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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RN 648905-70-8 CAPLUS

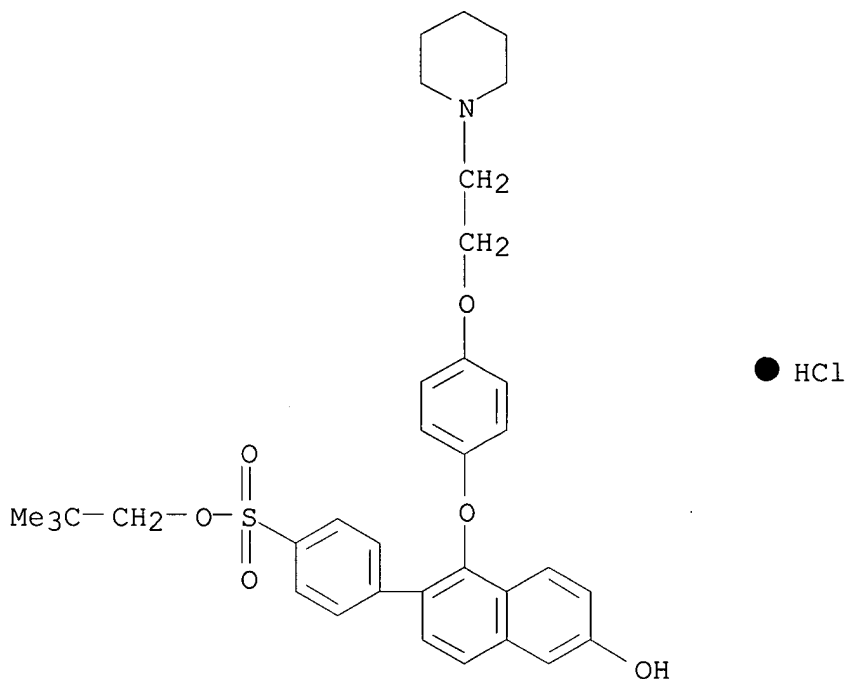
CN Benzenesulfonic acid,

4-[6-hydroxy-1-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-

2-naphthalenyl]-, 2,2-dimethylpropyl ester, hydrochloride (9CI) (CA

INDEX

NAME)



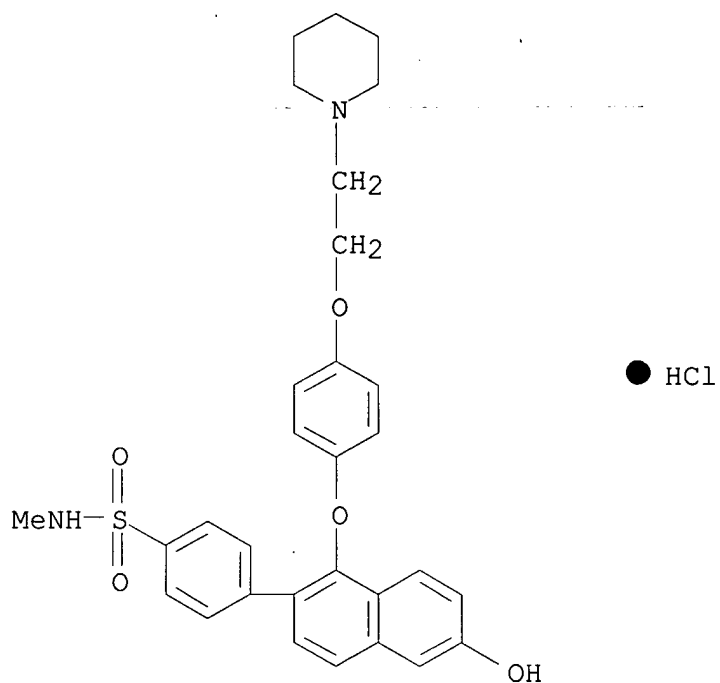
RN 648905-74-2 CAPLUS

CN Benzenesulfonamide,

4-[6-hydroxy-1-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-2-

naphthalenyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

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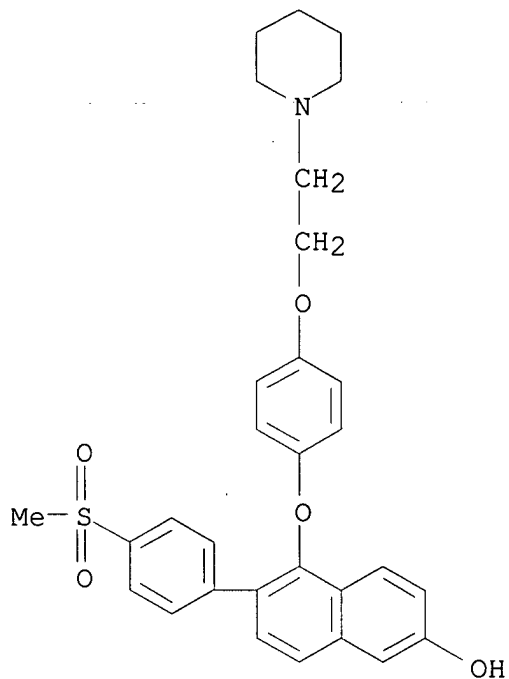
RN 648905-77-5 CAPLUS  
CN 2-Naphthalenol, 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 648904-56-7  
CMF C30 H31 N O5 S



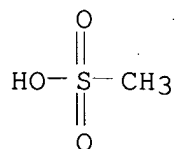
10/521,896



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 648905-78-6 CAPLUS

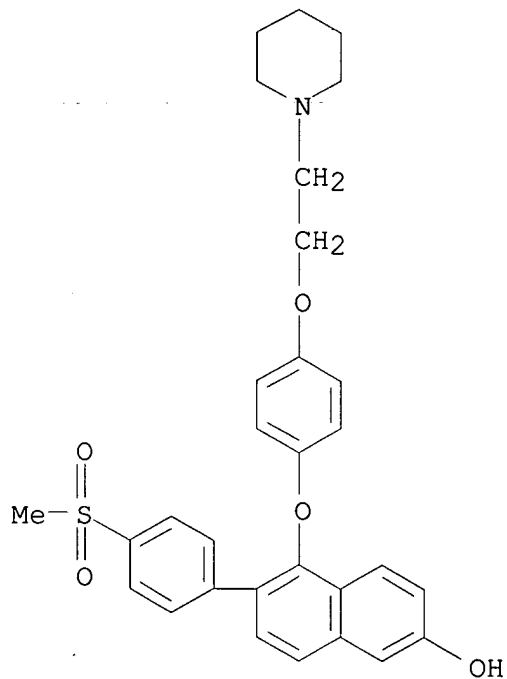
CN Butanedioic acid, compd. with 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-2-naphthalenol (1:1) (CA INDEX NAME)

CM 1

CRN 648904-56-7

CMF C30 H31 N O5 S

10/521,896



CM 2

CRN 110-15-6

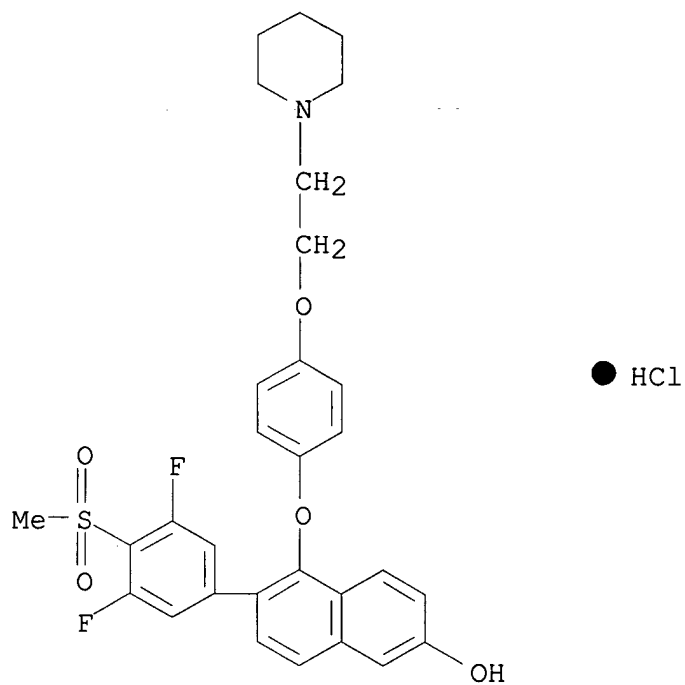
CMF C4 H6 O4

HO<sub>2</sub>C-CH<sub>2</sub>-CH<sub>2</sub>-CO<sub>2</sub>H

RN 648905-89-9 CAPLUS

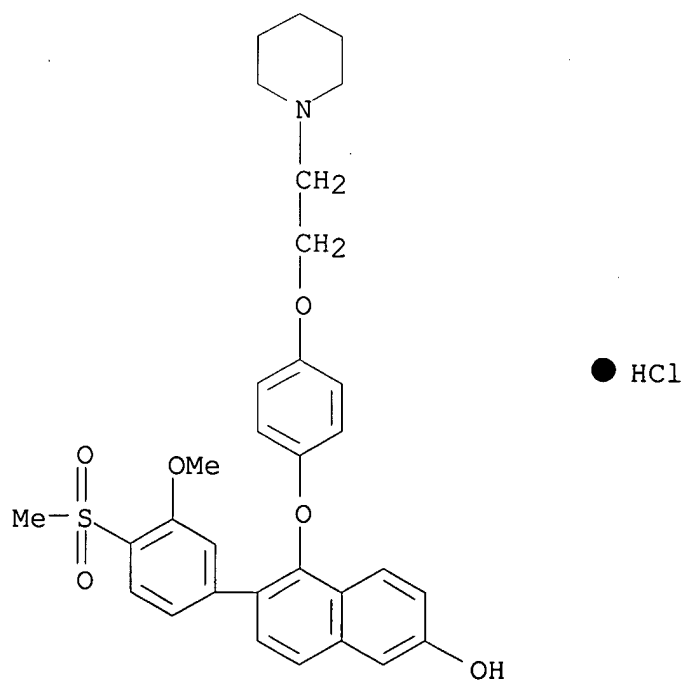
CN 2-Naphthalenol, 6-[3,5-difluoro-4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidiny)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

10/521,896



RN 648905-93-5 CAPLUS

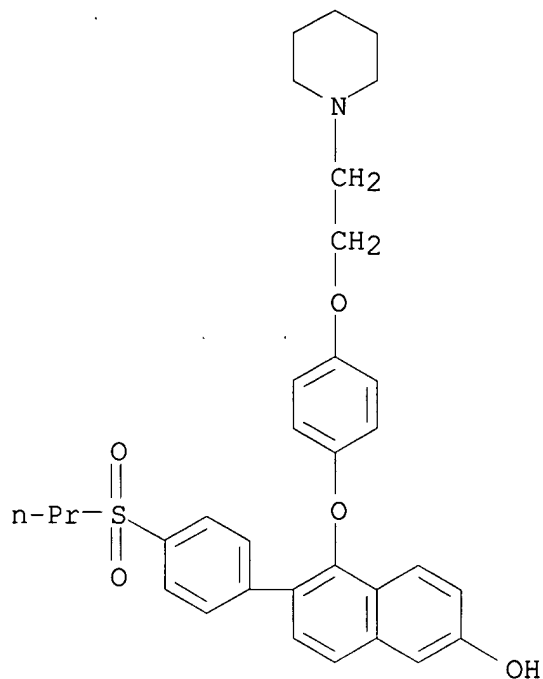
CN 2-Naphthalenol, 6-[3-methoxy-4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidiny)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



10/521,896

RN 648905-97-9 CAPLUS

CN 2-Naphthalenol, 5-[4-[2-(1-piperidinyloxy)ethoxy]phenoxy]-6-[4-(propylsulfonyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

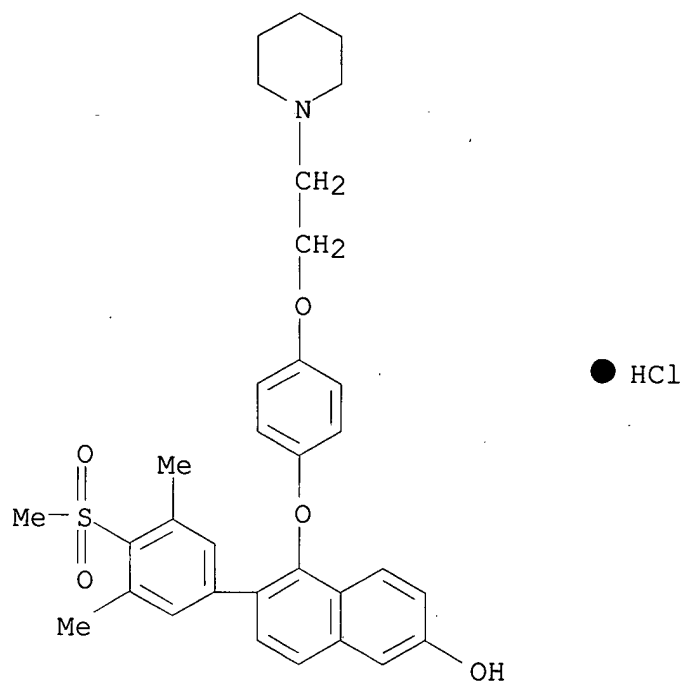


● HCl

RN 648906-21-2 CAPLUS

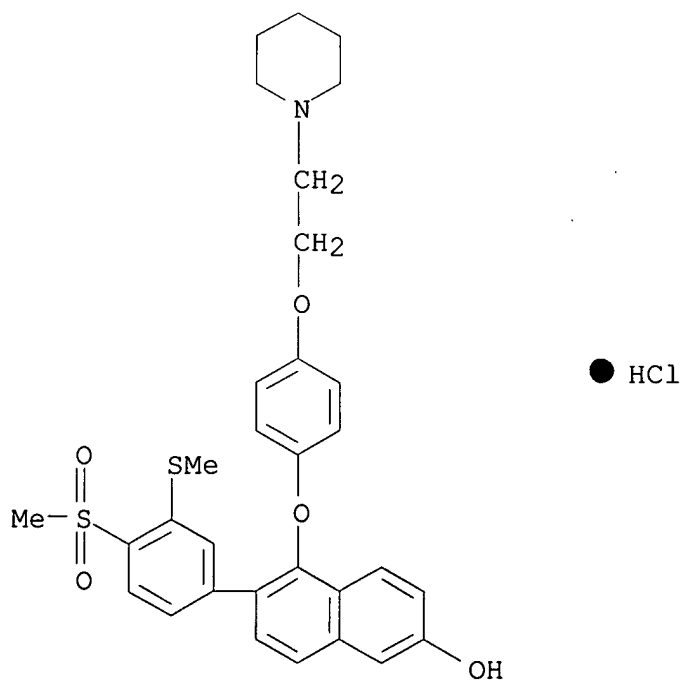
CN 2-Naphthalenol, 6-[3,5-dimethyl-4-(methylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyloxy)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

10/521,896



RN 648906-25-6 CAPLUS

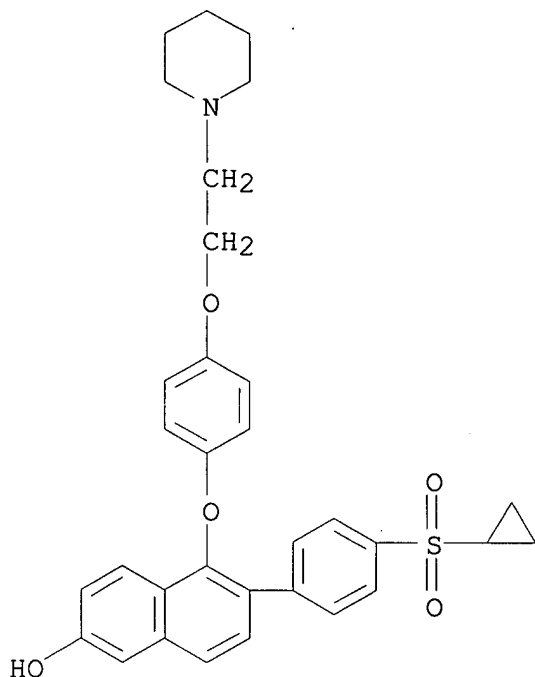
CN 2-Naphthalenol, 6-[4-(methylsulfonyl)-3-(methylthio)phenyl]-5-[4-[2-(1-piperidinyloxy)phenoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



10/521,896

RN 648906-30-3 CAPLUS

CN 2-Naphthalenol, 6-[4-(cyclopropylsulfonyl)phenyl]-5-[4-[2-(1-piperidinyl)ethoxy]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)



IC ICM A61K031-4453

ICS A61P005-32; C07D295-08; C07D333-56; C07D333-72; C07D295-12;  
C07D333-64

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

IT 648904-52-3P,

1-[2-[4-[[2-[4-(Methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648904-54-5P, 1-[2-[4-[[2-[4-(Methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine Hydrochloride 648904-56-7P, 6-[4-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648904-62-5P, 2,2-Dimethylpropionic acid 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester 648904-66-9P, Benzoic acid 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester 648904-68-1P, 4-Fluorobenzoic acid 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester 648904-72-7P, Carbonic acid isobutyl ester 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester 648904-74-9P, Methylcarbamic acid 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester 648904-76-1P, 1-[2-[4-[[2-[4-(Ethanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine hydrochloride 648904-77-2P,

1-[2-[4-[[2-[4-(Ethanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648904-79-4P,  
 6-[4-(Ethanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648904-80-7P, 1-[2-[4-[[2-[3-(Methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648904-82-9P, 6-[3-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648904-86-3P,  
 1-[2-[4-[[2-[3-Fluoro-4-(methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648904-88-5P, 6-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648904-90-9P,  
 1-[2-[4-[[6-Methoxy-2-[4-(trifluoromethanesulfonyl)phenyl]naphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648904-91-0P,  
 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(trifluoromethanesulfonyl)phenyl]naphthalen-2-ol 648904-94-3P,  
 1-[2-[4-[[2-(1,1-Dioxo-2,3-dihydro-1H-benzo[b]thiophen-5-yl)-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648904-96-5P,  
 6-(1,1-Dioxo-2,3-dihydro-1H-benzo[b]thiophen-5-yl)-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-08-2P 648905-10-6P, 1-[2-[4-[[2-[3-Chloro-4-(methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-11-7P, 6-[3-Chloro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-13-9P 648905-15-1P, 6-[4-(Methanesulfonyl)-3-trifluoromethylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-16-2P, 1-[2-[4-[[2-[2,3-Dichloro-4-(methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-18-4P, 6-[2,3-Dichloro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-20-8P, 1-[2-[4-[[2-[3,4-Bis(methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-22-0P, 6-[3,4-Bis(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-24-2P,  
 1-[2-[4-[[6-Methoxy-2-[4-(2,2,2-trifluoroethanesulfonyl)phenyl]naphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-25-3P, 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(2,2,2-trifluoroethanesulfonyl)phenyl]naphthalen-2-ol 648905-28-6P, 6-[4-(Isopropylsulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-29-7P, 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(propan-2-ylsulfonyl)phenyl]naphthalen-2-ol 648905-30-0P, 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(propan-2-ylsulfonyl)phenyl]naphthalen-2-ol hydrochloride 648905-31-1P, 1-[2-[4-[[6-Methoxy-2-[2-methyl-4-(methylsulfonyl)phenyl]naphthalen-1-yl]oxy]phenoxy]ethyl]piperidine hydrochloride 648905-32-2P,

1-[2-[4-[[6-Methoxy-2-[2-methyl-4-(methylsulfanyl)phenyl]naphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-33-3P, 6-[2-Methyl-4-(methylsulfanyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-36-6P, 1-[2-[4-[[6-Methoxy-2-[3-methyl-4-(methylsulfanyl)phenyl]naphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-37-7P,

6-[3-Methyl-4-(methylsulfanyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-39-9P, 6-[4-(Methanesulfonyl)-3-methylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-40-2P, 1-[2-[4-[[2-(Benzo[b]thiophen-5-yl)-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-41-3P,

6-(Benzo[b]thiophen-5-yl)-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-43-5P, Acetic acid

6-(1,1-dioxo-1H-benzo[b]thiophen-5-yl)-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester 648905-44-6P, 6-(1,1-Dioxo-1H-benzo[b]thiophen-5-yl)-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-48-0P, 6-[3,5-Bis(ethylsulfanyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-49-1P, 6-[3,5-Bis(ethanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-55-9P, [4-[2-[4-(Methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenyl][2-(piperidin-1-yl)ethyl]carbamic acid tert-butyl ester 648905-60-6P 648905-62-8P 648905-64-0P, N-tert-Butyl-4-[6-methoxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]benzenesulfonamide 648905-66-2P,

4-[6-Methoxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]-N,N-dimethylbenzenesulfonamide 648905-69-5P, 4-[6-Benzyloxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]benzenesulfonic acid 2,2-dimethylpropyl ester 648905-71-9P, 4-[6-Hydroxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]benzenesulfonic acid 2,2-dimethylpropyl ester 648905-72-0P,

N-tert-Butyl-4-[6-methoxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]-N-methylbenzenesulfonamide 648905-73-1P, 4-[6-Methoxy-1-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]-N-methylbenzenesulfonamide 648905-76-4P, Isobutyric acid 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethyl]oxy]phenoxy]naphthalen-2-yl ester 648905-81-1P,

[2-[4-(Methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl][4-[2-(piperidin-1-yl)ethoxy]phenyl]methanone 648905-83-3P,

[2-[4-(Methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl][4-[2-(piperidin-1-yl)ethoxy]phenyl]methanol 648905-84-4P,

[2-[4-(Methanesulfonyl)phenyl]-6-methoxynaphthalen-1-yl][4-[2-(piperidin-1-yl)ethoxy]phenyl]methane 648905-88-8P,

1-[2-[4-[[2-[3,5-Difluoro-4-(methanesulfonyl)phenyl]-6-methoxynaphthalen-1-



yl]oxy]phenoxy]ethyl]piperidine 648905-90-2P,  
 6-[3,5-Difluoro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-92-4P, Acetic acid  
 6-[4-(methanesulfonyl)-3-methoxyphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester 648905-94-6P,  
 1-[2-[4-[[2-[4-(Propylsulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-95-7P, 6-[4-

(Propylsulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648905-96-8P, 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(propan-1-ylsulfonyl)phenyl]naphthalen-2-ol 648906-05-2P,  
 1-[2-[4-[[6-Benzyloxy-2-[4-(ethanesulfonyl)phenyl]benzo[b]thiophen-3-yl]oxy]phenoxy]ethyl]piperidine 648906-06-3P, 2-[4-

(Ethanesulfonyl)phenyl]-3-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]benzo[b]thiophen-6-ol 648906-10-9P, 2-[4-(Methanesulfonyl)phenyl]-3-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]benzo[b]thiophen-6-ol 648906-12-1P,

1-[2-[4-[[6-Benzyloxy-2-[3-fluoro-4-(methanesulfonyl)phenyl]benzo[b]thiophen-3-yl]oxy]phenoxy]ethyl]piperidine 648906-15-4P,

1-[2-[4-[[6-Benzyloxy-2-[4-(trifluoromethanesulfonyl)phenyl]benzo[b]thiophen-3-yl]oxy]phenoxy]ethyl]piperidine 648906-16-5P, 3-[4-[2-(Piperidin-1-

yl)ethoxy]phenoxy]-2-[4-(trifluoromethanesulfonyl)phenyl]benzo[b]thiophen-6-ol 648906-20-1P,

1-[2-[4-[[2-(3,5-Dimethyl-4-methylsulfonylphenyl)-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648906-22-3P,  
 6-(3,5-Dimethyl-4-methylsulfonylphenyl)-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648906-24-5P, 1-[2-[4-[[2-[4-(Methanesulfonyl)-3-(methylsulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648906-26-7P,  
 6-[4-(Methanesulfonyl)-3-(methylsulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648906-29-0P, 1-[4-[2-(Piperidin-1-

yl)ethoxy]phenoxy]-2-[4-(cyclopropylsulfonyl)phenyl]-6-methoxynaphthalene 648906-31-4P, 6-[4-(Cyclopropylsulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol 648906-34-7P, 1-[2-[4-[[2-[4-(Methanesulfonyl)phenyl]-6-methoxy-3,4-dihydronaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(selective estrogen receptor modulator; preparation of (sulfonylphenyl)naphthyl)-substituted piperidines as SERMs for

treating

endometriosis and/or uterine leiomyoma)

IT 648904-58-9P, 6-[4-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648904-60-3P,  
 2,2-Dimethylpropionic acid 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester hydrochloride 648904-64-7P, Benzoic acid 6-[4-(methanesulfonyl)phenyl]-5-[4-[2-

(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester hydrochloride  
648904-70-5P, 4-Fluorobenzoic acid

6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester hydrochloride  
648904-73-8P, Carbonic acid isobutyl ester

6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester hydrochloride  
648904-75-0P, Methylcarbamic acid

6-[4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl ester hydrochloride  
648904-78-3P, 6-[4-(Ethanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648904-81-8P,  
6-[3-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648904-87-4P,  
6-[3-Fluoro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648904-92-1P,

5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(trifluoromethanesulfonyl)phenyl]naphthalen-2-ol hydrochloride 648904-95-4P,

6-(1,1-Dioxo-2,3-dihydro-1H-benzo[b]thiophen-5-yl)-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648904-98-7P,  
1-[2-[4-[[2-(2,2-Dioxo-2,3-dihydro-1H-benzo[c]thiophen-5-yl)-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648904-99-8P,

6-(2,2-Dioxo-2,3-dihydro-1H-benzo[c]thiophen-5-yl)-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-05-9P,

1-[2-[4-[[2-[4-(Methanesulfonyl)-3-methoxyphenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-07-1P,  
6-[3-Hydroxy-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol trifluoroacetate 648905-12-8P,  
6-[3-Chloro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-14-0P,  
6-[4-(Methanesulfonyl)-3-trifluoromethylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-17-3P,  
6-[2,3-Dichloro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-21-9P,  
6-[3,4-Bis(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-26-4P,  
5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(2,2,2-trifluoroethanesulfonyl)phenyl]naphthalen-2-ol hydrochloride 648905-27-5P,  
1-[2-[4-[[2-[4-(Isopropylsulfonyl)phenyl]-6-methoxynaphthalen-1-yl]oxy]phenoxy]ethyl]piperidine 648905-35-5P,  
6-[4-(Methanesulfonyl)-2-methylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol trifluoroacetate 648905-38-8P,  
6-[4-(Methanesulfonyl)-3-methylphenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-42-4P,  
6-(Benzo[b]thiophen-5-yl)-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-ol trifluoroacetate 648905-45-7P,  
6-(1,1-Dioxo-1H-benzo[b]thiophen-5-yl)-5-[4-[2-(piperidin-1-

yl)ethoxy]phenoxy]naphthalen-2-ol trifluoroacetate 648905-56-0P,  
 6-[4-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-  
 yl)ethyl]amino]phenoxy]naphthalen-2-ol Dihydrochloride 648905-57-1P  
 648905-61-7P 648905-65-1P, N-tert-Butyl-4-[6-hydroxy-1-[4-[2-  
 (piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]benzenesulfonamide  
 hydrochloride 648905-67-3P, 4-[6-Hydroxy-1-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]naphthalen-2-yl]-N,N-dimethylbenzenesulfonamide  
 hydrochloride 648905-70-8P, 4-[6-Hydroxy-1-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]naphthalen-2-yl]benzenesulfonic acid  
 2,2-dimethylpropyl  
 ester hydrochloride 648905-74-2P, 4-[6-Hydroxy-1-[4-[2-  
 (piperidin-1-yl)ethoxy]phenoxy]naphthalen-2-yl]-N-methylbenzenesulfonamide  
 hydrochloride 648905-75-3P, Isobutyric acid 6-[4-  
 (methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-  
 2-yl ester hydrochloride 648905-77-5P, 6-[4-  
 (Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]naphthalen-  
 2-ol methanesulfonate 648905-78-6P 648905-82-2P,  
 [6-Hydroxy-2-[4-(methanesulfonyl)phenyl]naphthalen-1-yl][4-[2-(piperidin-1-  
 yl)ethoxy]phenyl]methanone hydrochloride 648905-85-5P,  
 6-[4-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-  
 yl)ethoxy]benzyl]naphthalen-2-ol hydrochloride 648905-89-9P,  
 6-[3,5-Difluoro-4-(methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-93-5P,  
 6-[4-(Methanesulfonyl)-3-methoxyphenyl]-5-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648905-97-9P,  
 5-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-6-[4-(propan-1-  
 ylsulfonyl)phenyl]naphthalen-2-ol hydrochloride 648906-07-4P,  
 2-[4-(Ethanesulfonyl)phenyl]-3-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]benzo[b]thiophen-6-ol hydrochloride 648906-09-6P,  
 1-[2-[4-[6-Benzyloxy-2-[4-(methanesulfonyl)phenyl]benzo[b]thiophen-3-  
 yl]oxy]phenoxy]ethyl]piperidine trifluoroacetate 648906-11-0P,  
 2-[4-(Methanesulfonyl)phenyl]-3-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]benzo[b]thiophen-6-ol hydrochloride 648906-14-3P,  
 2-[3-Fluoro-4-(methanesulfonyl)phenyl]-3-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]benzo[b]thiophen-6-ol trifluoroacetate  
 648906-17-6P,  
 3-[4-[2-(Piperidin-1-yl)ethoxy]phenoxy]-2-[4-(trifluoromethanesulfonyl)phe-  
 nyl]benzo[b]thiophen-6-ol trifluoroacetate 648906-21-2P,  
 6-(3,5-Dimethyl-4-methylsulfonylphenyl)-5-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648906-25-6P,  
 6-[4-(Methanesulfonyl)-3-(methylsulfonyl)phenyl]-5-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648906-30-3P,  
 6-[4-(Cyclopropylsulfonyl)phenyl]-5-[4-[2-(piperidin-1-  
 yl)ethoxy]phenoxy]naphthalen-2-ol hydrochloride 648906-35-8P,  
 6-[4-(Methanesulfonyl)phenyl]-5-[4-[2-(piperidin-1-yl)ethoxy]phenoxy]-7,8-  
 dihydronaphthalen-2-ol

10/521,896

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(selective estrogen receptor modulator; preparation of  
(sulfonylphenylnaphthyl)-substituted piperidines as SERMs for  
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endometriosis and/or uterine leiomyoma)

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
35.82	214.39

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-4.80	-4.80

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